

10/729,313

=> file caplus

FILE 'CAPLUS' ENTERED AT 15:04:09 ON 25 OCT 2004

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FILE COVERS 1907 - 25 Oct 2004 VOL 141 ISS 18

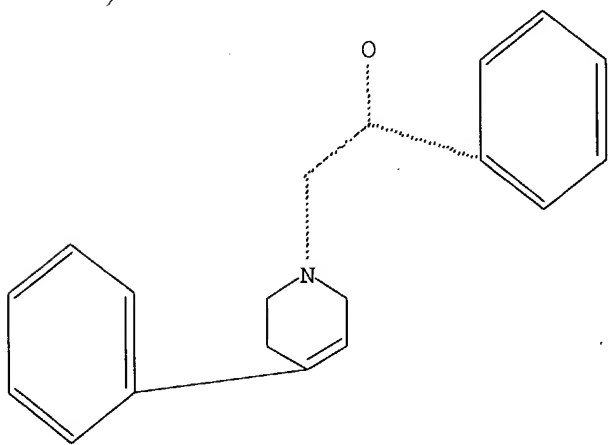
FILE LAST UPDATED: 24 Oct 2004 (20041024/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que

L1

STR



Structure attributes must be viewed using STN Express query preparation.

L3 171 SEA FILE=REGISTRY SSS FUL L1

L4 37 SEA FILE=CAPLUS L3

=> d l4 1-37 ibib abs hitstr

L4 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:211415 CAPLUS

DOCUMENT NUMBER: 138:384960

TITLE: The reactivity of 2,4,6-triphenylpyridinium ylides

AUTHOR(S): Lin, Shrong Shi; Wang, Jian Mei; Li, Cheng Yong

CORPORATE SOURCE: Department of Chemistry, Peking University, Beijing, 100871, Peop. Rep. China

SOURCE: Chinese Chemical Letters (2003), 14(2), 111-114

CODEN: CCLEE7; ISSN: 1001-8417

PUBLISHER: Chinese Chemical Society

10/729,313

DOCUMENT TYPE:

Journal

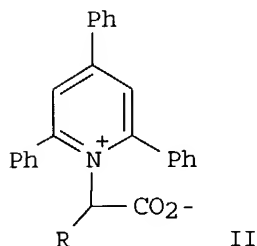
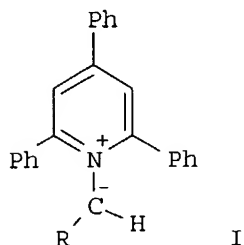
LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 138:384960

GI



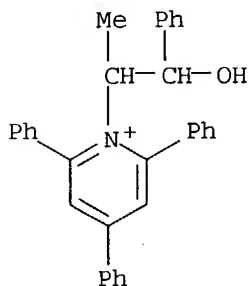
AB Triphenylpyridinium ylide I, generated by the decarboxylation of betaine II, react with acetyl chloride, chloroform or acetone to form addition-elimination product and proton extraction - carbanion addition products, resp. The reaction with chloroform was determined as pseudo first order from kinetic expts. The values of  $k_{obsd}$  and  $t_{1/2}$  for decarboxylation at 20, 40 and 50° are  $4.6 \times 10^{-4}$ ,  $8.8 \times 10^{-3}$ ,  $2.8 \times 10^{-2}$  min<sup>-1</sup> and 1.5 × 10<sup>3</sup>, 78.24 min, resp.

IT 85017-93-2

RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative)  
(reactivity of 2,4,6-triphenylpyridinium ylides)

RN 85017-93-2 CAPLUS

CN Pyridinium, 1-(2-hydroxy-1-methyl-2-phenylethyl)-2,4,6-triphenyl- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

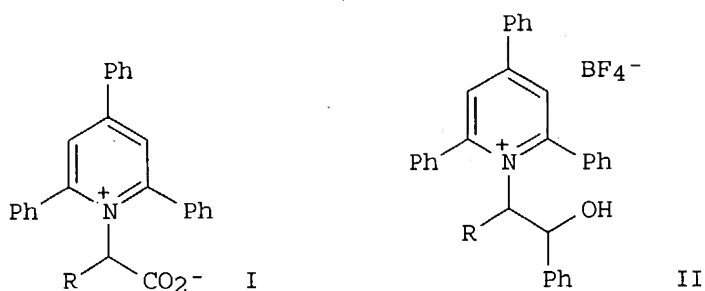
L4 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:560110 CAPLUS

10/729,313

DOCUMENT NUMBER: 138:89665  
TITLE: Preparation and reactions of pyridinium ylides via decarboxylation of pyridinium betaines  
AUTHOR(S): Lin, Shrong Shi; Wang, Jian Mei; Wang, Xuan; Li, Cheng Yong  
CORPORATE SOURCE: College of Chemical and Molecular Engineering, Peking University, Beijing, 100871, Peop. Rep. China  
SOURCE: Chinese Chemical Letters (2002), 13(7), 597-600  
CODEN: CCLEE7; ISSN: 1001-8417  
PUBLISHER: Chinese Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 138:89665  
GI



AB Reactions of  $\alpha$ -amino acid ester hydrochlorides with 2,4,6-triphenylpyrylium tetrafluoroborate gave the corresponding pyridinium ester salts, which on basic hydrolysis afforded pyridinium betaines I ( $\text{R} = \text{H, Me, PhCH}_2, \text{PhCH}_2\text{CH}_2$ ). Decarboxylation of I ( $\text{R} = \text{H, Me}$ ) on heating in EtOH at 800 gave unstable pyridinium ylide intermediates, which were trapped in reactions with electrophiles to give various pyridinium salts, e.g. II in reaction with benzaldehyde.

IT 91226-09-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of alkylpyridinium salts via decarboxylation of pyridinium betaines and trapping of pyridinium ylides with electrophiles)

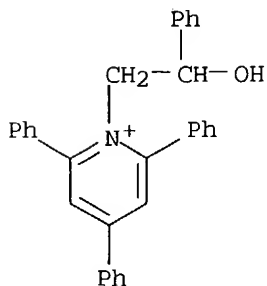
RN 91226-09-4 CAPLUS

CN Pyridinium, 1-(2-hydroxy-2-phenylethyl)-2,4,6-triphenyl-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 91226-08-3

CMF C31 H26 N O



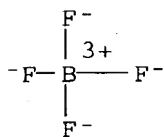
10/729,313

CM 2

CRN 14874-70-5

CMF B F4

CCI CCS



IT 85017-94-3P 484016-68-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of alkylpyridinium salts via decarboxylation of pyridinium betaines and trapping of pyridinium ylides with electrophiles)

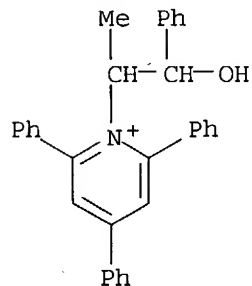
RN 85017-94-3 CAPLUS

CN Pyridinium, 1-(2-hydroxy-1-methyl-2-phenylethyl)-2,4,6-triphenyl-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 85017-93-2

CMF C32 H28 N O

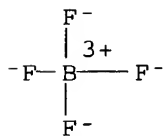


CM 2

CRN 14874-70-5

CMF B F4

CCI CCS



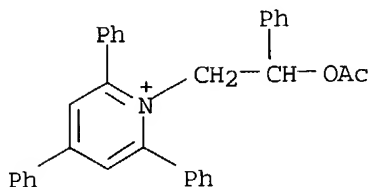
RN 484016-68-4 CAPLUS

CN Pyridinium, 1-[2-(acetyloxy)-2-phenylethyl]-2,4,6-triphenyl-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

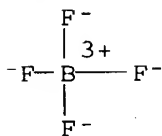
10/729,313

CRN 484016-67-3  
CMF C33 H28 N O2



CM 2

CRN 14874-70-5  
CMF B F4  
CCI CCS



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:446443 CAPLUS

DOCUMENT NUMBER: 137:161283

TITLE: Chiral N-alkyl-2,4,6-triphenylpyridiniums as enantioselective triplet photosensitizers. Laser flash photolysis and preparative studies

AUTHOR(S): Alvaro, Mercedes; Formentin, Pilar; Garcia, Hermenegildo; Palomares, Emilio; Sabater, Maria J.

CORPORATE SOURCE: Departamento de Quimica, Instituto de Tecnologia Quimica UPV-CSIC, Valencia, 46022, Spain

SOURCE: Journal of Organic Chemistry (2002), 67(15), 5184-5189  
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Three N-alkylpyridinium photosensitizers having chiral alkyl groups have been prepared by reacting 2,4,6-triphenylpyrylium tetrafluoroborate ion with (1R,2S)-(-)-norephedrine, (S)-(+)-2-(aminomethyl)pyrrolidine, and (R)-(-)-1-cyclohexylethylamine. Laser flash photolysis allows detection of the corresponding triplet excited states that are quenched by hydrogen atom donors and electron donors. Asym. quenching of the chiral triplet excited state was observed using enantiomerically pure 1,2-diaminocyclohexane as quencher. Low enantiomeric excess values (up to 7%) were measured for the photochem. cyclization of 5-methyl-4-hexenoic acid to its corresponding  $\gamma$ -lactone using these chiral N-alkylpyridinium as photosensitizers.

IT 445497-52-9P

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

10/729,313

(flash photolysis and preparative studies of chiral  
N-alkyltriphenylpyridinium enantioselective triplet photosensitizers)

RN 445497-52-9 CAPLUS

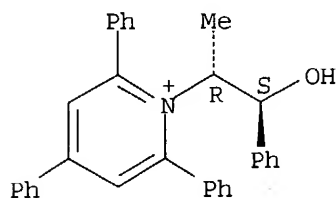
CN Pyridinium, 1-[(1R,2S)-2-hydroxy-1-methyl-2-phenylethyl]-2,4,6-triphenyl-,  
tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 445497-51-8

CMF C32 H28 N O

Absolute stereochemistry.

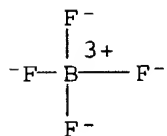


CM 2

CRN 14874-70-5

CMF B F4

CCI CCS



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:382028 CAPLUS

Correction of: 1999:78171

DOCUMENT NUMBER: 131:4882

Correction of: 130:281600

TITLE: A comparative molecular field analysis of the  
structure of cycloimmonium ylides. A derived synthesis  
methodology for planar and non-planar cycloimmonium  
ylides

AUTHOR(S): Karzazi, Yasser; Vergoten, Gerard; Surpateanu,  
Gheorghe

CORPORATE SOURCE: Universite des Sciences et Technologies de Lille,  
Villeneuve d'Ascq, 59655, Fr.

SOURCE: Journal of Molecular Structure (1999), 476(1-3),  
121-131

CODEN: JMOSB4; ISSN: 0022-2860

PUBLISHER: Elsevier Science B.V.

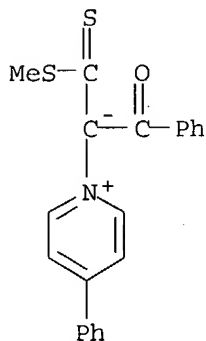
DOCUMENT TYPE: Journal

LANGUAGE: English

AB The aim of the comparative mol. fields anal. (CoMFA) that will be  
developed here is to determine a correlation between the mol. geometry on the  
one hand and a steric parameter and another electrostatic (or electronic)

parameter on the other hand. This is used in order to understand why some cycloimmonium ylides adopt a near planar structure when others are non-planar. First, a Quant. Structure-Property Relationship (QSPR) study was developed in order to identify the physico-chemical parameters susceptible to explain the difference between homologous mols. on the level of the electronic structure and the geometry. The variable that one plans to explain here is the angle  $\delta$  between the plane described by the pyridinium ring and the plane described by the carbanion. Thus, we demonstrate that the more the substituents of the carbanion are electron withdrawing groups and not too cumbersome, the more the cycloimmonium ylide considered has a tendency to adopt a planar structure. However, the more the substituents of the carbanion are electron withdrawing groups but also too cumbersome, the more the cycloimmonium ylide considered has a tendency to adopt a non-planar structure. In addition, the aim of the present study using the ComFA method is to propose a methodol. for synthesis of planar and non-planar cycloimmonium ylides as may be required by the organic chemist.

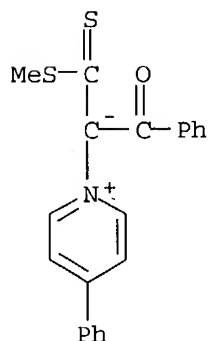
IT 112777-14-7  
 RL: PRP (Properties)  
 (comparative mol. field anal. of the structure of cycloimmonium ylides)  
 RN 112777-14-7 CAPLUS  
 CN Pyridinium, 4-phenyl-, 1-benzoyl-2-(methylthio)-2-thioxoethylide (9CI)  
 (CA INDEX NAME)



L4 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:78171 CAPLUS  
 DOCUMENT NUMBER: 130:281600  
 TITLE: A comparative molecular field analysis of the structure of cycloimmonium ylides. A derived synthesis methodology for planar and non-planar cycloimmonium ylides  
 AUTHOR(S): Karzazia, Yasser; Vergotena, Gerard; Surpateanub, Gheorghe  
 CORPORATE SOURCE: CRESIMM Centre de Recherche et d'Etudes en Simulations et Modelisation Moleculaires, INSERM U 279, Universite des Sciences et Technologies de Lille, Villeneuve d'Ascq, 59655, Fr.  
 SOURCE: Journal of Molecular Structure (1999), 476(1-3), 121-131  
 CODEN: JMOSB4; ISSN: 0022-2860  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The aim of the comparative mol. fields anal. (ComFA) that will be developed here is to determine a correlation between the mol. geometry on the one hand and a steric parameter and another electrostatic (or electronic)

parameter on the other hand. This is used in order to understand why some cycloimmonium ylides adopt a near planar structure when others are non-planar. First, a Quant. Structure-Property Relationship (QSPR) study was developed in order to identify the physico-chemical parameters susceptible to explain the difference between homologous mols. on the level of the electronic structure and the geometry. The variable that one plans to explain here is the angle  $\delta$  between the plane described by the pyridinium ring and the plane described by the carbanion. Thus, we demonstrate that the more the substituents of the carbanion are electron withdrawing groups and not too cumbersome, the more the cycloimmonium ylide considered has a tendency to adopt a planar structure. However, the more the substituents of the carbanion are electron withdrawing groups but also too cumbersome, the more the cycloimmonium ylide considered has a tendency to adopt a non-planar structure. In addition, the aim of the present study using the ComFA method is to propose a methodol. for synthesis of planar and non-planar cycloimmonium ylides as may be required by the organic chemist.

IT 112777-14-7  
 RL: PRP (Properties)  
 (comparative mol. field anal. of the structure of cycloimmonium ylides)  
 RN 112777-14-7 CAPLUS  
 CN Pyridinium, 4-phenyl-, 1-benzoyl-2-(methylthio)-2-thioxoethylide (9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:799998 CAPLUS

DOCUMENT NUMBER: 130:38306

TITLE: Preparation and use of 4-substituted tetrahydropyridines to stimulate TGF- $\beta$ 1 production

INVENTOR(S): Bono, Francoise; Fournier, Jacqueline; Herbert, Jean-Marc; Lamarche, Isabelle; Guzzi, Umberto

PATENT ASSIGNEE(S): Sanofi, Fr.

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9853821	A1	19981203	WO 1998-FR1000	19980520

W: AU, BR, BY, CA, CN, CZ, EE, HU, ID, IL, IS, JP, KR, LK, LT, LV,



MX, NO, NZ, PL, RU, SG, SI, SK, TR, UA, US, VN, YU  
 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
 PT, SE

FR 2763847	A1	19981204	FR 1997-6522	19970528
FR 2763847	B1	20030606		
AU 9877748	A1	19981230	AU 1998-77748	19980520
EP 1017385	A1	20000712	EP 1998-925747	19980520
EP 1017385	B1	20030716		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI

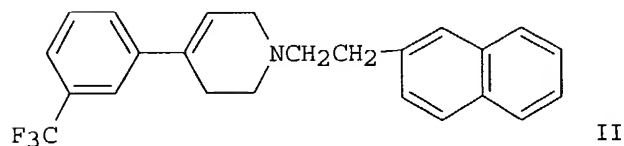
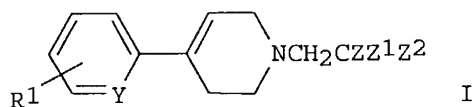
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AT 245027	E	20030815	AT 1998-925747	19980520
PT 1017385	T	20031031	PT 1998-925747	19980520
ES 2201502	T3	20040316	ES 1998-925747	19980520
BR 9809444	A	20000613	BR 1998-9444	19980525
ZA 9804564	A	19981203	ZA 1998-4564	19980528
US 6342505	B1	20020129	US 2000-423884	20000410
US 2002091143	A1	20020711	US 2001-44223	20011120
US 6693118	B2	20040217		
US 2004157868	A1	20040812	US 2004-773073	20040205

PRIORITY APPLN. INFO.:

FR 1997-6522	A	19970528
WO 1998-FR1000	W	19980520
US 2000-423884	A3	20000410
US 2001-44223	A3	20011120

OTHER SOURCE(S): MARPAT 130:38306

GI



AB Title compds. I [R1 = CF3, alkyl, alkoxy; Y = N CH; Z1, Z2 = H, alkyl, OH; Z1Z2 = O; Z = (un)substituted Ph, 1-naphthyl, 2-naphthyl] were prepared for use in pharmaceutical compns. designed to increase the proportions of circulating, cellular and extracellular TGF- $\beta$ 1. Thus, 2-(2-bromoethyl)naphthalene was treated with 4-(3-trifluoromethylphenyl)-1,2,3,6-tetrahydropyridine to give the naphthylethyl derivative II which significantly increased the extracellular TGF- $\beta$ 1 concentration in isolated human aorta cell cultures.

IT 210535-25-4P

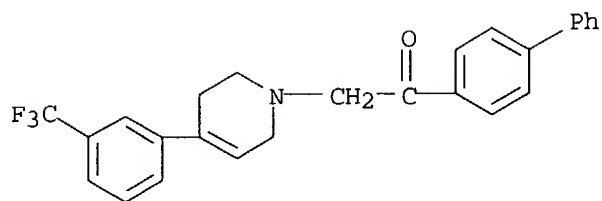
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and use of 4-substituted tetrahydropyridines to stimulate TGF- $\beta$ 1 production)

RN 210535-25-4 CAPLUS

CN Ethanone; 1-[1,1'-biphenyl]-4-yl-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)

10/729,313



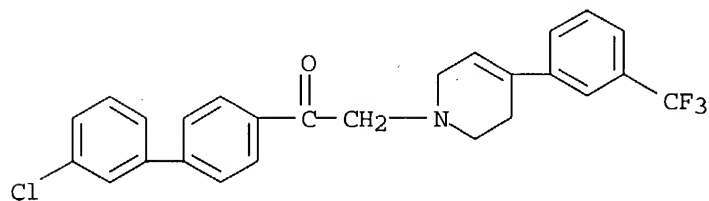
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210535-13-0P 210535-14-1P 210535-19-6P  
210535-22-1P 210535-27-6P 210535-28-7P  
210535-30-1P 210535-33-4P 210535-35-6P  
210535-37-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and use of 4-substituted tetrahydropyridines to stimulate TGF- $\beta$ 1 production)

RN 210535-08-3 CAPLUS

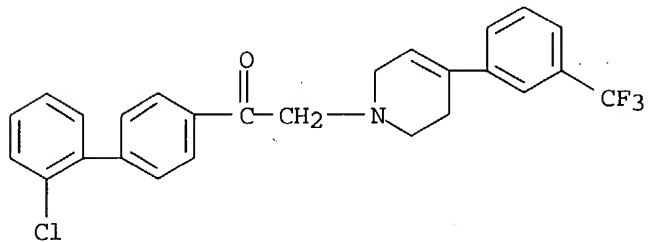
CN Ethanone, 1-(3'-chloro[1,1'-biphenyl]-4-yl)-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 210535-09-4 CAPLUS

CN Ethanone, 1-(2'-chloro[1,1'-biphenyl]-4-yl)-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)

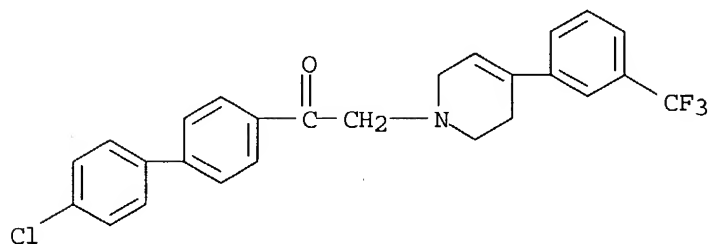


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10/729,313

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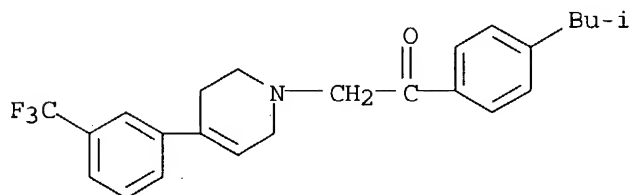
CN Ethanone, 1-(4'-chloro[1,1'-biphenyl]-4-yl)-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 210535-13-0 CAPLUS

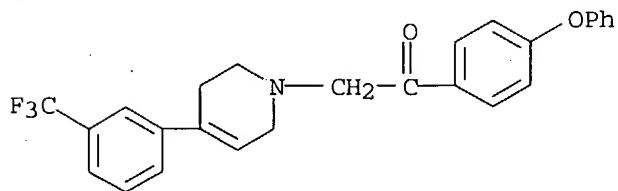
CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-[4-(2-methylpropyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 210535-14-1 CAPLUS

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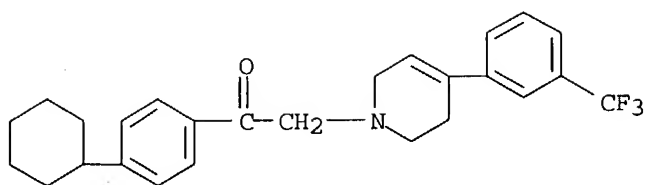


● HCl

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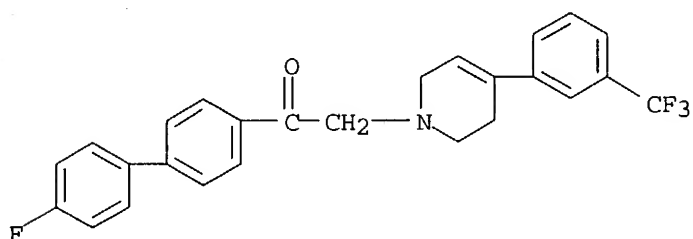
CN Ethanone, 1-(4-cyclohexylphenyl)-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)

10/729,313



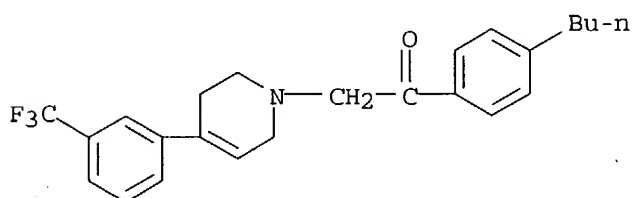
● HCl

RN 210535-22-1 CAPLUS  
CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-(4'-fluoro[1,1'-biphenyl]-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

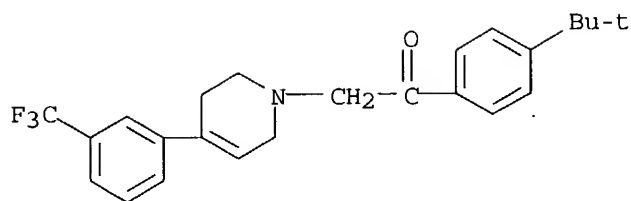
RN 210535-27-6 CAPLUS  
CN Ethanone, 1-(4-butylphenyl)-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

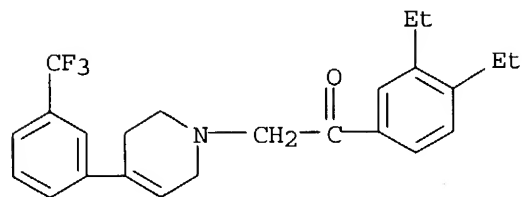
RN 210535-28-7 CAPLUS  
CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-[4-(1,1-dimethylethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

10/729,313



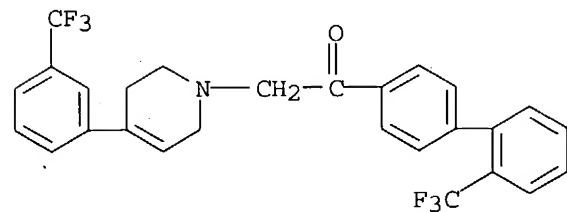
● HCl

RN 210535-30-1 CAPLUS  
CN Ethanone, 1-(3,4-diethylphenyl)-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

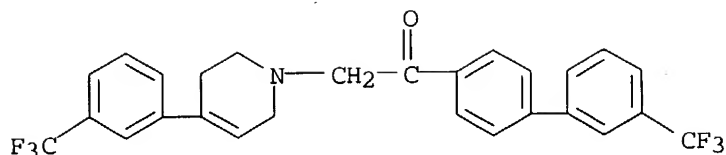
RN 210535-33-4 CAPLUS  
CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-[2'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

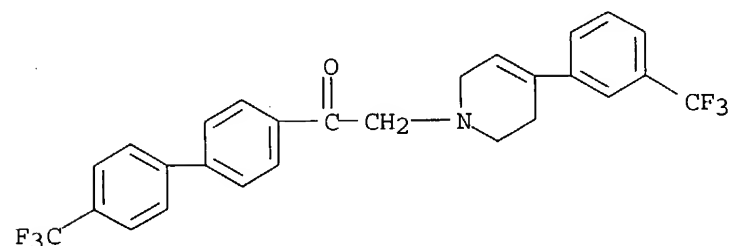
RN 210535-35-6 CAPLUS  
CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-[3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-, hydrochloride (9CI) (CA INDEX NAME)

10/729,313



● HCl

RN 210535-37-8 CAPLUS  
CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:719266 CAPLUS

DOCUMENT NUMBER: 129:343417

TITLE: Preparation of tetrahydropyridine derivatives for treating diseases causing demyelination

INVENTOR(S): Bourrie, Bernard; Casellas, Pierre; Maffrand, Jean-pierre

PATENT ASSIGNEE(S): Sanofi, Fr.

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

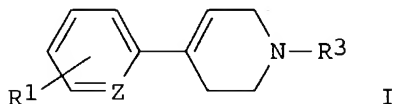
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9848802	A1	19981105	WO 1998-FR774	19980417
W: AU, BR, BY, CA, CN, CZ, DE, EE, HU, ID, IL, IS, JP, KR, LK, LT, LV, MX, NO, NZ, PL, RU, SG, SI, SK, TR, UA, US, VN, YU				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2762514	A1	19981030	FR 1997-5275	19970429
FR 2762514	B1	19991022		
AU 9874364	A1	19981124	AU 1998-74364	19980417

10/729,313

EP 979079	A1	20000216	EP 1998-921552	19980417
EP 979079	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9810234	A	20000919	BR 1998-10234	19980417
JP 2002501498	T2	20020115	JP 1998-546648	19980417
AT 269077	E	20040715	AT 1998-921552	19980417
ZA 9803602	A	19981102	ZA 1998-3602	19980429
NO 9905245	A	19991227	NO 1999-5245	19991027
MX 9910016	A	20000331	MX 1999-10016	19991029
US 6344464	B1	20020205	US 2000-403507	20000418
PRIORITY APPLN. INFO.:			FR 1997-5275	A 19970429
			WO 1998-FR774	W 19980417

OTHER SOURCE(S): MARPAT 129:343417  
GI



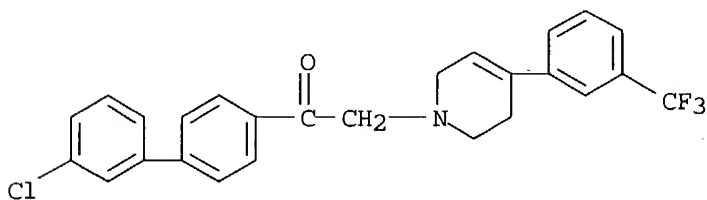
AB Title compds. [I; R1 = halo, CF3, alkyl, alkoxy; R3 = CH2CRR1R2; R = (un)substituted Ph or -naphthyl; R1 = R2 = H or alkyl; 1 of R1,R2 = H and the other OH; R1R2 = O; Z = N or CH] were prepared for treating diseases causing demyelination (no data). Thus, I (R1 = 3-CF3, Z = CH) (II; R3 = 2-(2-naphthyl)ethyl].

IT 210535-08-3P 210535-09-4P 210535-10-7P  
210535-13-0P 210535-14-1P 210535-19-6P  
210535-22-1P 210535-25-4P 210535-27-6P  
210535-28-7P 210535-30-1P 210535-33-4P  
210535-35-6P 210535-37-8P 210535-39-0P  
210535-41-4P 210535-43-6P 210535-45-8P  
210535-47-0P 210535-49-2P 210535-51-6P  
210535-52-7P 210535-53-8P 210535-55-0P  
210535-59-4P 210535-61-8P 210535-64-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of tetrahydropyridine derivs. for treating diseases causing demyelination)

RN 210535-08-3 CAPLUS

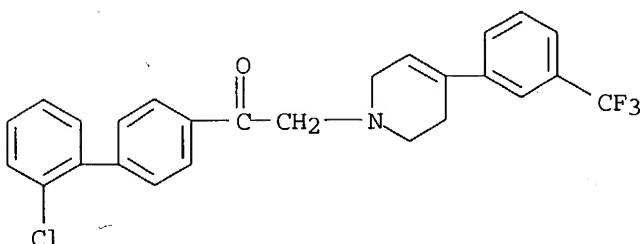
CN Ethanone, 1-(3'-chloro[1,1'-biphenyl]-4-yl)-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

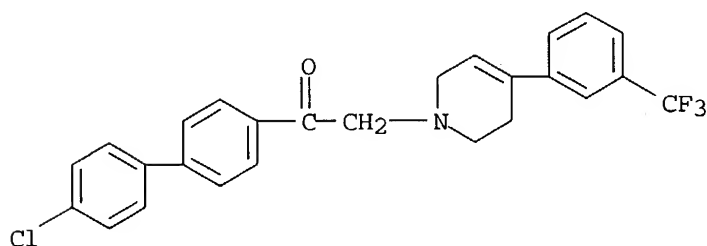
10/729,313

RN 210535-09-4 CAPLUS  
CN Ethanone, 1-(2'-chloro[1,1'-biphenyl]-4-yl)-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)



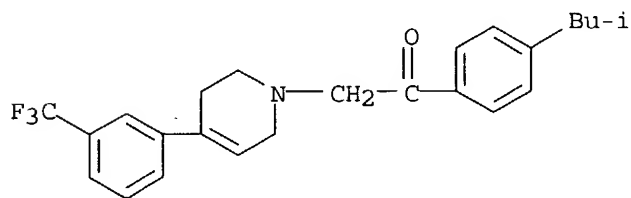
● HCl

RN 210535-10-7 CAPLUS  
CN Ethanone, 1-(4'-chloro[1,1'-biphenyl]-4-yl)-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 210535-13-0 CAPLUS  
CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-[4-(2-methylpropyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

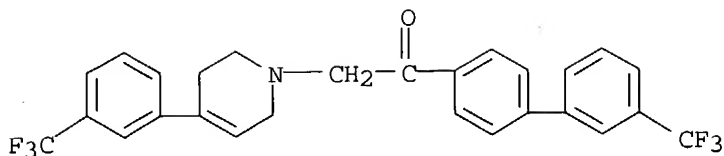


● HCl

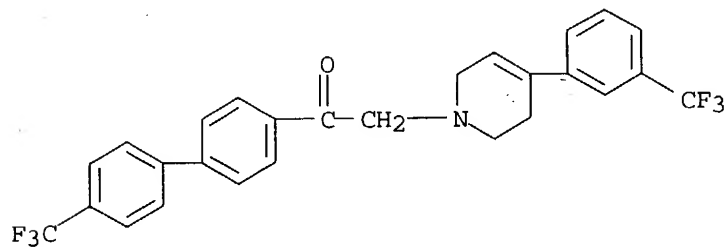
RN 210535-14-1 CAPLUS



10/729,313



RN 210535-64-1 CAPLUS  
CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:538003 CAPLUS

DOCUMENT NUMBER: 129:136095

TITLE: Preparation of 1-benzoylalkyl-1,2,3,6-tetrahydropyridines as neuroprotectants

INVENTOR(S): Baroni, Marco; Fournier, Jacqueline; Ielmini, Alessandra; Cardamone, Rosanna; Guzzi, Umberto

PATENT ASSIGNEE(S): Sanofi SA, Fr.

SOURCE: Fr. Demande, 20 pp. .

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2757512	A1	19980626	FR 1996-15957	19961224
FR 2757512	B1	19990312		
CA 2272453	AA	19980702	CA 1997-2272453	19971224
WO 9828274	A1	19980702	WO 1997-FR2424	19971224
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9857682	A1	19980717	AU 1998-57682	19971224
EP 950053	A1	19991020	EP 1997-953948	19971224
EP 950053	B1	20030611		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			

10/729,313

BR 9714184	A	20000229	BR 1997-14184	19971224
JP 2001513077	T2	20010828	JP 1998-528494	19971224
AT 242766	E	20030615	AT 1997-953948	19971224
PT 950053	T	20031031	PT 1997-953948	19971224
ES 2200218	T3	20040301	ES 1997-953948	19971224
MX 9905651	A	20000531	MX 1999-5651	19990617
NO 9903126	A	19990623	NO 1999-3126	19990623
US 6358965	B1	20020319	US 1999-331524	19990805
US 2002058672	A1	20020516	US 2001-44221	20011120
US 2004122032	A1	20040624	US 2003-729313	20031205
PRIORITY APPLN. INFO.:			FR 1996-15957	A 19961224
			WO 1997-FR2424	W 19971224
			US 1999-331524	A3 19990805
			US 2001-44221	B1 20011120

OTHER SOURCE(S): MARPAT 129:136095

AB R1C6H4Z(CH2)nCHR2COR3 (I; Z = 1,2,3,6-tetrahydropyridine-4,1-diyl) [II; R1 = halo, CF3, alkyl, alkoxy; R2 = H or alkyl; R3 = (un)substituted Ph; n = 0 or 1] were prepared as neuroprotectants (no data). Thus, 3-ClC6H4Ph was acylated by BrCH2COBr and the product aminated by 3-(F3C)C6H4ZH to give 3-(F3C)C6H4ZCH2COC6H4(C6H4Cl-3)-4.

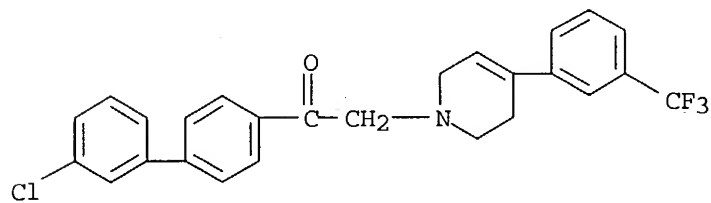
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210535-13-0P 210535-14-1P 210535-19-6P  
210535-22-1P 210535-25-4P 210535-27-6P  
210535-28-7P 210535-30-1P 210535-33-4P  
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210535-52-7P 210535-53-8P 210535-55-0P  
210535-57-2P 210535-59-4P 210535-61-8P  
210535-64-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-benzoylalkyl-1,2,3,6-tetrahydropyridines as neuroprotectants)

RN 210535-08-3 CAPLUS

CN Ethanone, 1-(3'-chloro[1,1'-biphenyl]-4-yl)-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)

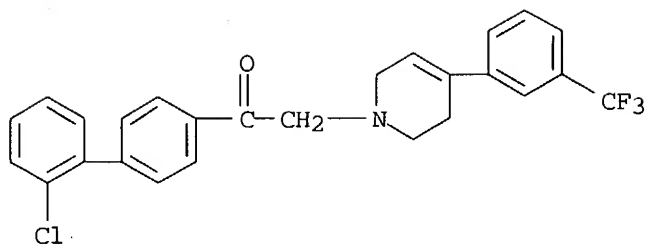


● HCl

RN 210535-09-4 CAPLUS

CN Ethanone, 1-(2'-chloro[1,1'-biphenyl]-4-yl)-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)

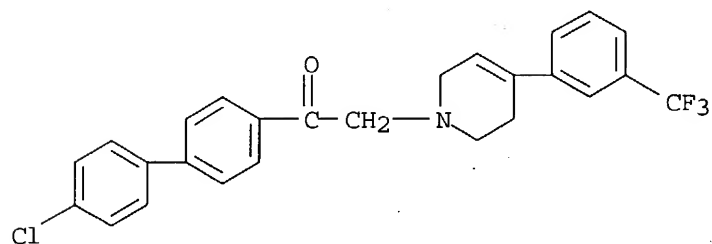
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● HCl

RN 210535-10-7 CAPLUS

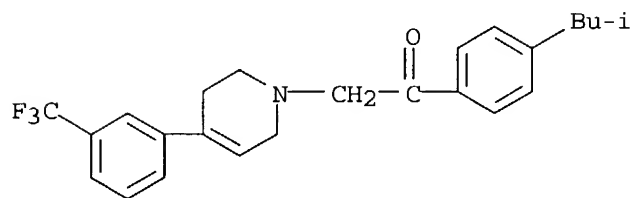
CN Ethanone, 1-(4'-chloro[1,1'-biphenyl]-4-yl)-2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 210535-13-0 CAPLUS

CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-[4-(2-methylpropyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

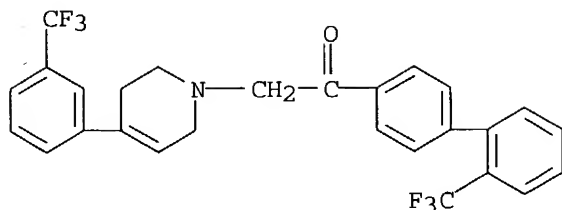


● HCl

RN 210535-14-1 CAPLUS

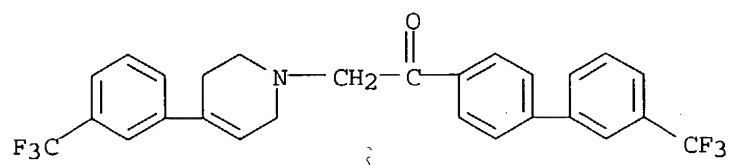
CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-(4-phenoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

10/729,313



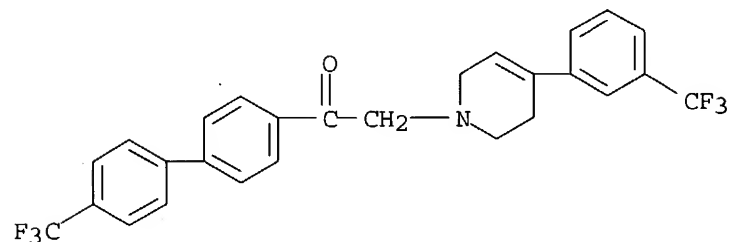
RN 210535-61-8 CAPLUS

CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-[3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 210535-64-1 CAPLUS

CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:474285 CAPLUS

DOCUMENT NUMBER: 129:189224

TITLE: Benzyl cation-initiated intramolecular cyclizations.

Synthesis of 1-azabicyclo[3.2.1]octene derivatives

AUTHOR(S): Csuzdi, Emese; Pallagi, Istvan; Sziraki, Istvan;

Solyom, Sandor

CORPORATE SOURCE: Institute Drug Research Ltd., Budapest, H-1045, Hung.

SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung

(1998), 340(5), 472-475

CODEN: JPCCEM; ISSN: 0941-1216

PUBLISHER: Johann Ambrosius Barth

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Benzyl cation-initiated intramol. cyclization of N-(2-hydroxy-2-phenylethyl)-4-phenyl-1,2,5,6-tetrahydropyridines provides rac endo-exo isomers of diphenyl-1-azabicyclo[3.2.1]octenes. Formation of the endo isomer is favored. The new compds. show dopamine-uptake inhibitory activity with an addnl. selective MAO-B enzyme inhibitory potential. The remarkable in-vitro effects do not correspond to in-vivo antidepressant activity.

10/729,313

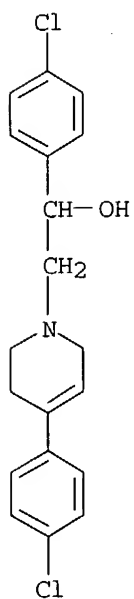
IT 150495-37-7P 211947-81-8P 211947-82-9P  
211947-83-0P 211947-84-1P 211947-85-2P  
211947-86-3P 211947-87-4P 211947-88-5P  
211947-89-6P 211947-90-9P 211947-91-0P  
211947-92-1P 211947-93-2P 211947-94-3P  
211947-95-4P 211947-96-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of azabicyclo[3.2.1]octenes by benzyl cation-initiated  
intramol. cyclization)

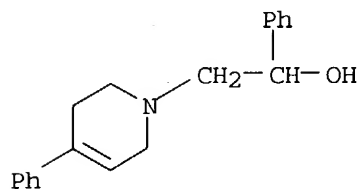
RN 150495-37-7 CAPLUS

CN 1(2H)-Pyridineethanol,  $\alpha$ ,4-bis(4-chlorophenyl)-3,6-dihydro- (9CI)  
(CA INDEX NAME)



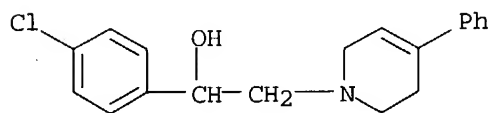
RN 211947-81-8 CAPLUS

CN 1(2H)-Pyridineethanol, 3,6-dihydro- $\alpha$ ,4-diphenyl- (9CI) (CA INDEX  
NAME)



RN 211947-82-9 CAPLUS

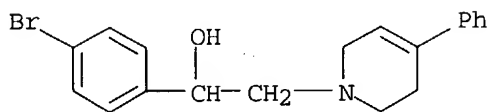
CN 1(2H)-Pyridineethanol,  $\alpha$ -(4-chlorophenyl)-3,6-dihydro-4-phenyl-  
(9CI) (CA INDEX NAME)



10/729,313

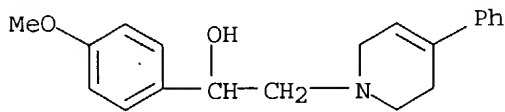
RN 211947-83-0 CAPLUS

CN 1(2H)-Pyridineethanol,  $\alpha$ -(4-bromophenyl)-3,6-dihydro-4-phenyl- (9CI)  
(CA INDEX NAME)



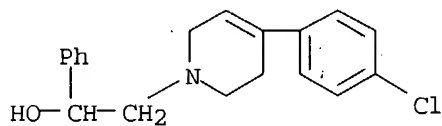
RN 211947-84-1 CAPLUS

CN 1(2H)-Pyridineethanol, 3,6-dihydro- $\alpha$ -(4-methoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)



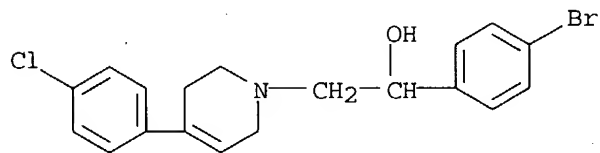
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CN 1(2H)-Pyridineethanol, 4-(4-chlorophenyl)-3,6-dihydro- $\alpha$ -phenyl- (9CI) (CA INDEX NAME)



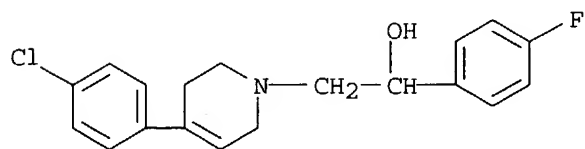
RN 211947-86-3 CAPLUS

CN 1(2H)-Pyridineethanol,  $\alpha$ -(4-bromophenyl)-4-(4-chlorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 211947-87-4 CAPLUS

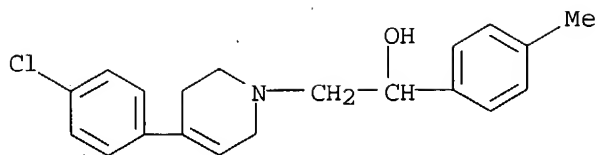
CN 1(2H)-Pyridineethanol, 4-(4-chlorophenyl)- $\alpha$ -(4-fluorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 211947-88-5 CAPLUS

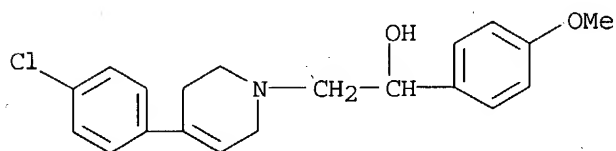
CN 1(2H)-Pyridineethanol, 4-(4-chlorophenyl)-3,6-dihydro- $\alpha$ -(4-methylphenyl)- (9CI) (CA INDEX NAME)

10/729,313



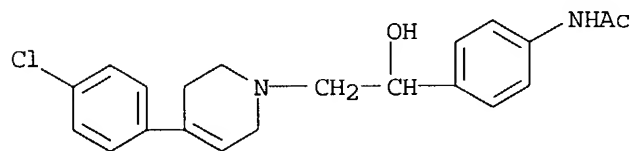
RN 211947-89-6 CAPLUS

CN 1(2H)-Pyridineethanol, 4-(4-chlorophenyl)-3,6-dihydro-α-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



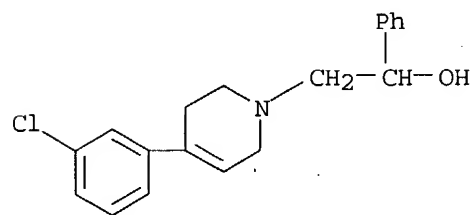
RN 211947-90-9 CAPLUS

CN Acetamide, N-[4-[2-[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]-1-hydroxyethyl]phenyl]- (9CI) (CA INDEX NAME)



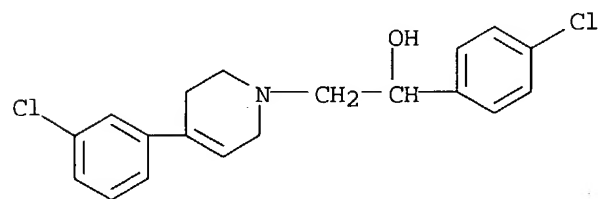
RN 211947-91-0 CAPLUS

CN 1(2H)-Pyridineethanol, 4-(3-chlorophenyl)-3,6-dihydro-α-phenyl- (9CI) (CA INDEX NAME)



RN 211947-92-1 CAPLUS

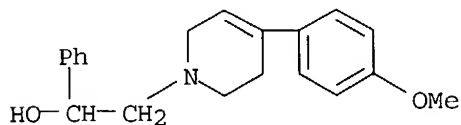
CN 1(2H)-Pyridineethanol, 4-(3-chlorophenyl)-α-(4-chlorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



10/729,313

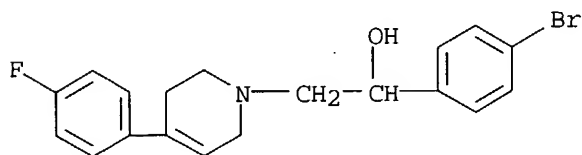
RN 211947-93-2 CAPLUS

CN 1(2H)-Pyridineethanol, 3,6-dihydro-4-(4-methoxyphenyl)- $\alpha$ -phenyl-  
(9CI) (CA INDEX NAME)



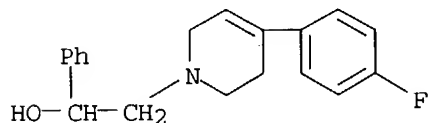
RN 211947-94-3 CAPLUS

CN 1(2H)-Pyridineethanol,  $\alpha$ -(4-bromophenyl)-4-(4-fluorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



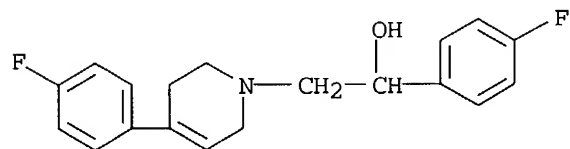
RN 211947-95-4 CAPLUS

CN 1(2H)-Pyridineethanol, 4-(4-fluorophenyl)-3,6-dihydro- $\alpha$ -phenyl-  
(9CI) (CA INDEX NAME)



RN 211947-96-5 CAPLUS

CN 1(2H)-Pyridineethanol,  $\alpha$ ,4-bis(4-fluorophenyl)-3,6-dihydro- (9CI)  
(CA INDEX NAME)



L4 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:509330 CAPLUS

DOCUMENT NUMBER: 127:206408

TITLE: Energy-sensitive pyridinium borates as acid-generating

agents, their compositions, curable compositions

containing the agents, and cured products

INVENTOR(S): Toba, Yasumasa; Tanaka, Yasuhiro; Yasuike, Madoka

PATENT ASSIGNEE(S): Toyo Ink Mfg. Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 74 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

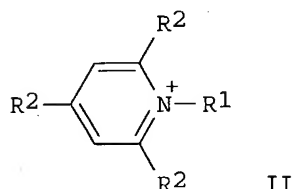
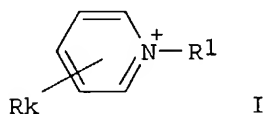
FAMILY ACC. NUM. COUNT: 1



10/729,313

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09194816	A2	19970729	JP 1996-7972	19960122
PRIORITY APPLN. INFO.:			JP 1996-7972	19960122
OTHER SOURCE(S):	MARPAT 127:206408			
GI				



AB Title agents comprising pyridinium cations I [R1 = benzyl, phenacyl, allyl, alkoxy, aryloxy (each may be substituted); R = F, Cl, Br, OH, carboxy, mercapto, cyano, NO2, carbamoyl, C1-18 linear, branched, or cyclic alkyl, C2-18 linear, branched, or cyclic alkenyl, C6-18 monocyclic or condensed polycyclic aryl, C7-18 monocyclic or condensed polycyclic arylalkyl, C1-18 linear, branched, or cyclic alkoxyalkyl, C6-18 monocyclic or condensed polycyclic aryloxy, C1-18 linear, branched, or cyclic aliphatic acyl, C7-18 monocyclic or condensed polycyclic aromatic acyl, C2-19 linear, branched, or cyclic alkoxy carbonyl, C7-19 monocyclic or condensed polycyclic aryloxy carbonyl (each may be substituted with F, Cl, Br, OH, carboxyl, mercapto, cyano, NO2, azide); R and R1 may form ring; k = 0-5] and BYmZn- (Y = F, Cl; Z = Ph substituted with  $\geq 2$  electron-attractive groups selected from F, cyano, NO2, CF3; m = 0-3; n = 1-4, m + n = 4). Alternatively, the cations are pyridinium II and the anions are tetrakis(pentafluorophenyl)borate or tetrakis[3,5-bis(trifluoromethyl)phenyl]borate. Further claimed are (A) compns. containing the acid-generating agents and sensitizers, (B) curable compns. further containing acid-curable compds. and optionally radically curable compds. and radical initiators, and (C) their cured products, which are applicable to various uses, e.g., plastic moldings, sealing materials, printing inks, photosensitive printing plates, photoresists, etc. Thus, a mixture of 100 parts ERL 4221 (epoxy compds.) and 1 part N-benzylpyridinium tetrakis(pentafluorophenyl)borate was UV-irradiated for 5 min to give cured product.

IT 194474-05-0

RL: CAT (Catalyst use); USES (Uses)

(pyridinium borates as energy-sensitive acid-generating agents for acid-curable compns.)

RN 194474-05-0 CAPLUS

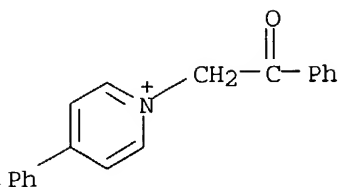
CN Pyridinium, 1-(2-oxo-2-phenylethyl)-4-phenyl-,  
tetrakis(pentafluorophenyl)borate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 194474-04-9

CMF C19 H16 N O

10/729,313

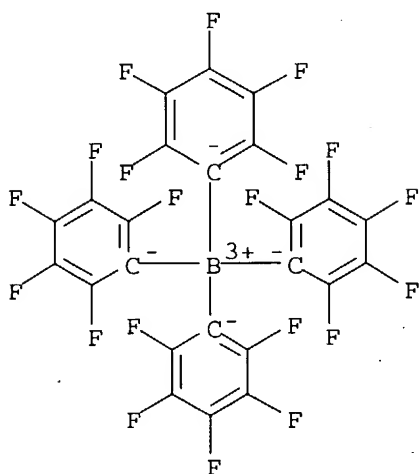


CM 2

CRN 47855-94-7

CMF C24 B F20

CCI CCS



L4 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:579474 CAPLUS

DOCUMENT NUMBER: 121:179474

TITLE: New formation of pyrrolizines by intramolecular cyclization of phenacyl substituted tetrahydropyridines

AUTHOR(S): Csuzdi, Emese; Pallagi, Istvan; Jerkovich, Gyula; Solyom, Sandor

CORPORATE SOURCE: Inst. Drug Research Ltd., Budapest, H-1045, Hung.

SOURCE: Synlett (1994), (6), 429-30

CODEN: SYNLES; ISSN: 0936-5214

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:179474

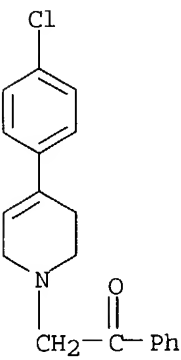
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

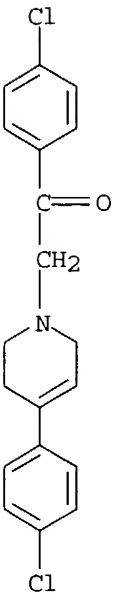
AB Cyclization of 1-phenacyl-1,2,5,6-tetrahydropyridines I (R = H; Me; X = H, Cl) under acidic conditions gave diphenyltetrahydropyridines II (same R, X) and the expected 4,6-bisphenyl-1-azabicyclo[3.2.1]octa-3,6-dienes III

10/729,313

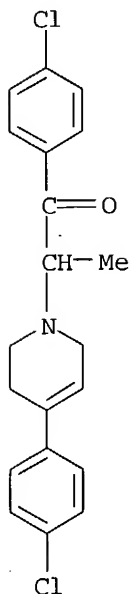
(same R, X) minor products.  
IT 157589-10-1 157589-11-2 157589-12-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reactant for diphenyltetrahydropyrrolizine)  
RN 157589-10-1 CAPLUS  
CN Ethanone, 2-[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]-1-phenyl-  
(9CI) (CA INDEX NAME)



RN 157589-11-2 CAPLUS  
CN Ethanone, 1-(4-chlorophenyl)-2-[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-  
pyridinyl]- (9CI) (CA INDEX NAME)



RN 157589-12-3 CAPLUS  
CN 1-Propanone, 1-(4-chlorophenyl)-2-[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-  
pyridinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:625833 CAPLUS

DOCUMENT NUMBER: 119:225833

TITLE: Hydroxyalkyl-substituted 1,2,3,6-tetrahydropyridine and piperidine derivatives for treatment of tissue hypoxia and ischemia

INVENTOR(S): Harsanyi, Kalman; Gizur, Tibor; Agai-Csongor, Eva; Kallay-Sohonyai, Anna; Kapolnas-Pap, Marta; Csizer, Eva; Hegedus, Bela; Szporny, Laszlo; Kiss, Bela; et al.

PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

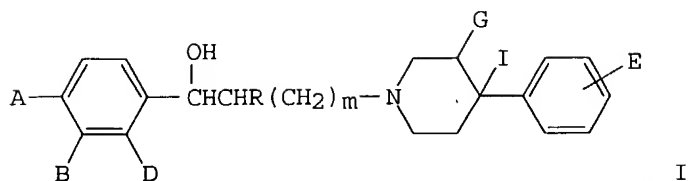
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9311107	A1	19930610	WO 1992-HU50	19921201
W: AU, CA, CS, FI, JP, KR, LK, NO, NZ, PL, RO, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
HU 63384	A2	19930830	HU 1991-3747	19911202
HU 211019	B	19950928		
ZA 9209011	A	19930517	ZA 1992-9011	19921120
AU 9230937	A1	19930628	AU 1992-30937	19921201
JP 07501338	T2	19950209	JP 1992-509985	19921201
EP 642497	A1	19950315	EP 1992-924845	19921201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1072927	A	19930609	CN 1992-113583	19921202
US 5589486	A	19961231	US 1995-244867	19950117
PRIORITY APPLN. INFO.:			HU 1991-3747	19911202
			HU 1992-3747	19920609
			WO 1992-HU50	19921201

OTHER SOURCE(S): MARPAT 119:225833

GI



AB The title compds. I [A = H, halogen, alkoxy CN, (un)substituted Ph, (un)substituted CH<sub>2</sub>Ph, (un)substituted 2-phenylethyl, 2-picoly], B = H, alkoxy, NO<sub>2</sub>; D = H, halogen, alkoxy; E = H, halogen, alkoxy, CF<sub>3</sub>; G = H; I = H, HO; R = H, alkyl, Ph; BD = CH:CHCH:CH; GI = single chemical bond; m = 0-2; such that when m = 0 or 2 than G and I = H and A = CH<sub>2</sub>Ph or halogen-monosubstituted CH<sub>2</sub>Ph; when m = 1 than A = 2-picoly], useful for treating the degenerative and functional disturbances arising from hypoxic and/or ischemic tissue insults, and for which I-containing pharmaceutical formulations are presented, are prepared Thus, 1-(4-chlorophenyl)-3-[4-hydroxy-(4-chlorophenyl)-1-piperidyl]propanone hydrochloride was reduced with NaBH<sub>4</sub> in an aqueous NaOH ethanolic solution, producing

1-(4-chlorophenyl)-3-

[4-hydroxy-(4-chlorophenyl)-1-piperidyl]propanol (m.p. 129-132°).

IT 150495-29-7P 150495-33-3P 150495-37-7P

150495-60-6P 150495-62-8P 150495-63-9P

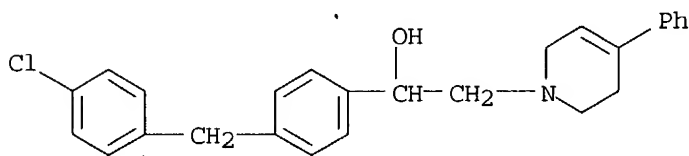
150495-64-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and antihypoxic and antiischemic activity of)

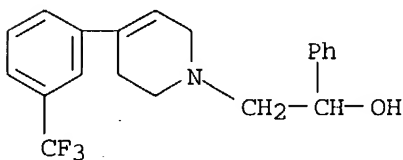
RN 150495-29-7 CAPLUS

CN 1(2H)-Pyridineethanol, α-[4-[(4-chlorophenyl)methyl]phenyl]-3,6-dihydro-4-phenyl- (9CI) (CA INDEX NAME)



RN 150495-33-3 CAPLUS

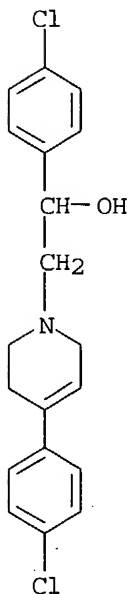
CN 1(2H)-Pyridineethanol, 3,6-dihydro-α-phenyl-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 150495-37-7 CAPLUS

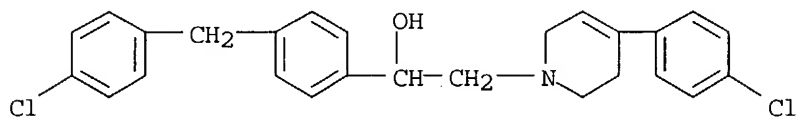
CN 1(2H)-Pyridineethanol, α,4-bis(4-chlorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)

10/729,313



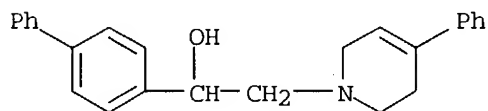
RN 150495-60-6 CAPLUS

CN 1(2H)-Pyridineethanol, 4-(4-chlorophenyl)- $\alpha$ -[4-[(4-chlorophenyl)methyl]phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)



RN 150495-62-8 CAPLUS

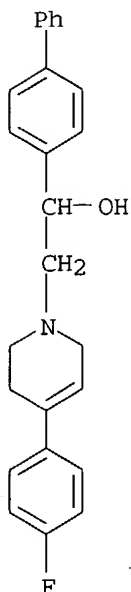
CN 1(2H)-Pyridineethanol,  $\alpha$ -[1,1'-biphenyl]-4-yl-3,6-dihydro-4-phenyl- (9CI) (CA INDEX NAME)



RN 150495-63-9 CAPLUS

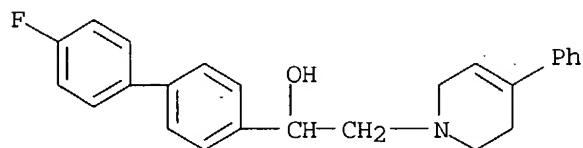
CN 1(2H)-Pyridineethanol,  $\alpha$ -[1,1'-biphenyl]-4-yl-4-(4-fluorophenyl)-3,6-dihydro- (9CI) (CA INDEX NAME)

10/729,313



RN 150495-64-0 CAPLUS

CN 1(2H)-Pyridineethanol,  $\alpha$ -(4'-fluoro[1,1'-biphenyl]-4-yl)-3,6-dihydro-4-phenyl- (9CI), (CA INDEX NAME)



IT 136726-47-1 150495-66-2 150495-72-0

150495-93-5 150495-95-7 150495-96-8

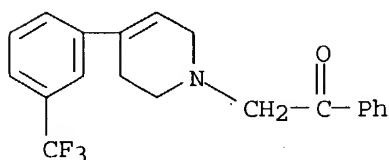
150495-97-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(reduction of, in preparation of antihypoxic and antiischemic agents)

RN 136726-47-1 CAPLUS

CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

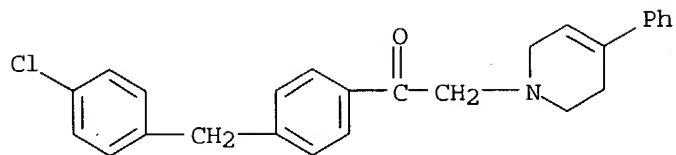


● HCl

RN 150495-66-2 CAPLUS

CN Ethanone, 1-[4-[(4-chlorophenyl)methyl]phenyl]-2-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)-, hydrochloride (9CI) (CA INDEX NAME)

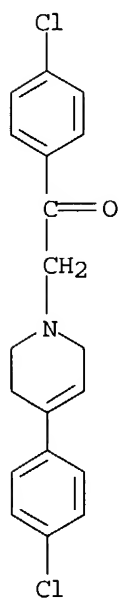
10/729,313



● HCl

RN 150495-72-0 CAPLUS

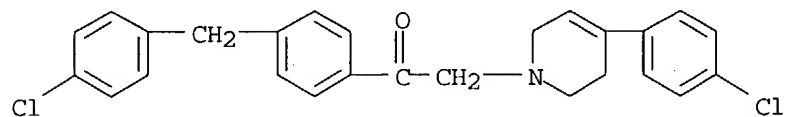
CN Ethanone, 1-(4-chlorophenyl)-2-[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 150495-93-5 CAPLUS

CN Ethanone, 2-[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]-1-[4-[(4-chlorophenyl)methyl]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



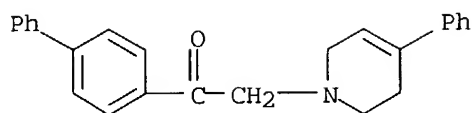
● HCl

RN 150495-95-7 CAPLUS

CN Ethanone, 1-[1,1'-biphenyl]-4-yl-2-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)-, hydrochloride (9CI) (CA INDEX NAME)



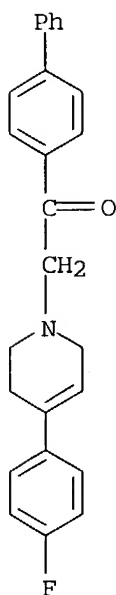
10/729,313



● HCl

RN 150495-96-8 CAPLUS

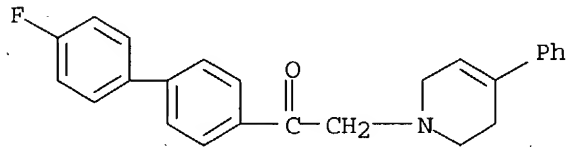
CN Ethanone, 1-[1,1'-biphenyl]-4-yl-2-[4-(4-fluorophenyl)-3,6-dihydro-1(2H)-pyridinyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 150495-97-9 CAPLUS

CN Ethanone, 2-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)-1-(4'-fluoro[1,1'-biphenyl]-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:607859 CAPLUS

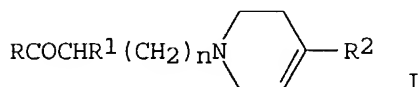
DOCUMENT NUMBER: 115:207859

TITLE: 1-(Aroylalkyl)-4-aryl-1,2,3,6-tetrahydropyridines and their effect on memory damage caused by hypoxia

10/729,313

INVENTOR(S): Nador, Karoly; Scheiber, Pal; Nemes, Peter; Karpatt, Egon; Kiss, Bela; Szporny, Laszlo; Palosi, Eva; Groo, Dora; Lapis, Erzsebet; et al.  
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.  
SOURCE: PCT Int. Appl., 29 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9108200	A1	19910613	WO 1990-HU76	19901122
W: CA, JP, KR, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
HU 56065	A2	19910729	HU 1989-6335	19891201
HU 208118	B	19930830		
PRIORITY APPLN. INFO.:			HU 1989-6335	19891201
OTHER SOURCE(S):	MARPAT 115:207859			
GI				



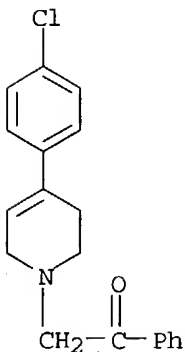
AB Title compds. I [R, R<sub>2</sub> = (un)substituted phenyl; R<sub>1</sub> = H, alkyl; n = 0, 1] were prepared. Thus, 4-(4-chlorophenyl)-1,2,3,6-tetrahydropyridine hydrochloride reacted with 3-chloro-1-(4-chlorophenyl)-1-propanone in EtOH containing NaOAc to give 68.7% I (R = R<sub>2</sub> = 4-ClC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = H, n = 1) (isolated as the HCl salt). This and several other I were more active than vincamine and piracetam in protecting rats from amnesia caused by hypoxia.

IT **136726-46-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and anti-amnesia effect of)

RN 136726-46-0 CAPLUS

CN Ethanone, 2-[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]-1-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



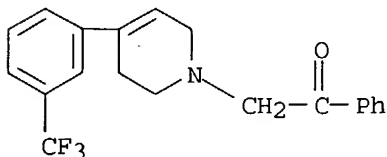
10/729,313

IT 136726-47-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 136726-47-1 CAPLUS

CN Ethanone, 2-[3,6-dihydro-4-[3-(trifluoromethyl)phenyl]-1(2H)-pyridinyl]-1-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:408584 CAPLUS

DOCUMENT NUMBER: 115:8584

TITLE: Preparation of 2-piperidino-1-alkanol derivatives as  
antiischemic agents

INVENTOR(S): Chenard, Bertrand Leo

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 48 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

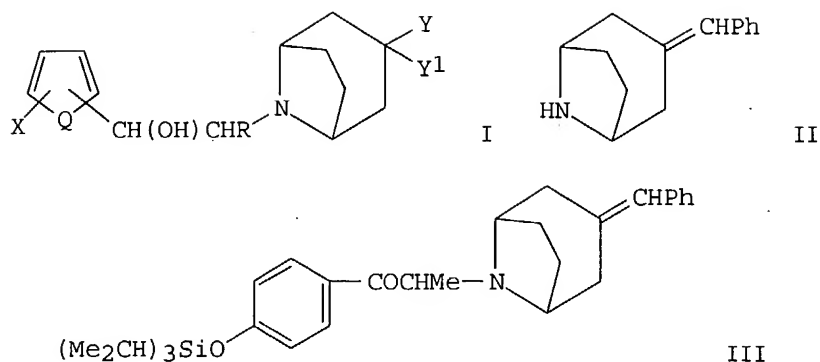
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 398578	A2	19901122	EP 1990-304975	19900509
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
SK 279476	B6	19981104	SK 1990-2328	19890517
CZ 284342	B6	19981014	CZ 1990-2328	19900511
CA 2016860	C	19980728	CA 1990-2016860	19900515
US 5185343	A	19930209	US 1991-784446	19911023
US 5272160	A	19931221	US 1992-932844	19920820
US 5338754	A	19940816	US 1993-96913	19930723
US 5391742	A	19950221	US 1994-228466	19940415
US 5710168	A	19980120	US 1994-336639	19941109
US 5527912	A	19960618	US 1995-411030	19950327
PRIORITY APPLN. INFO.:			WO 1989-US2176	A 19890517
			WO 1990-US292	A 19900116
			US 1991-784446	A3 19911023
			US 1992-932844	A3 19920820
			US 1993-96913	A3 19930723
			US 1994-228466	A2 19940415
			US 1994-336639	A3 19941109

OTHER SOURCE(S): MARPAT 115:8584

GI



AB The title compds. (I; R = H, alkyl, alkenyl, alkynyl; X = H, OH, aryl; Y = H, OH; Y1 = aryl, aralkyl, arylthio, aryloxy, YY1 = arylmethylene, aralkylmethylene; Q = S, CH:CH), useful as antiischemic agents in treating strokes, Alzheimer's disease, Huntington's disease, and Parkinson's disease (no data), are prepared. A mixture of piperidine derivative II, p-(Me2CH)3SiOC6H4COCHBrMe, and Et3N in EtOH was refluxed to give 23% propiophenone III, which was reduced with LiAlH4 to give 89% mixture of (1R\*,2S\*)- and (1S\*,2S\*)-I [R = Me, X = 4-(Me2CH)3SiO, YY1 = PhCH, Q = CH:CH] (IV). Hydrolysis of IV with Bu4N+ F- in THF at room temperature gave the mixture phenolic alc. (1S\*,2S\*)- and (1R\*,2S\*)-I (R = Me, X = 4-HO, YY1 = PhCH, Q = CH:CH). Also prepared were 75 addnl. I and intermediates.

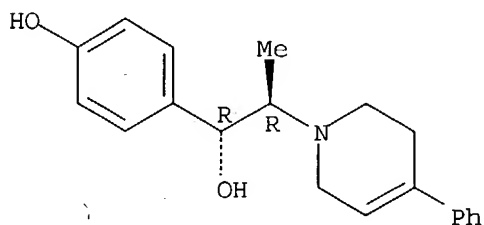
IT **134138-77-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as antiischemic agent)

RN 134138-77-5 CAPLUS

CN 1(2H)-Pyridineethanol, 3,6-dihydro- $\alpha$ -(4-hydroxyphenyl)- $\beta$ -methyl-4-phenyl-, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:553700 CAPLUS

DOCUMENT NUMBER: 111:153700

TITLE: Preparation of new benzimidazole derivatives from

N-[(methylthio)thiocarbonylmethyl]azinium salts

AUTHOR(S): Cuadro, Ana M.; Alvarez-Builla, Julio; Vaquero, Juan J.

CORPORATE SOURCE: Dep. Quim. Org., Univ. Alcala de Henares, Madrid, Spain

SOURCE: Heterocycles (1989), 29(1), 57-65

CODEN: HTCYAM; ISSN: 0385-5414

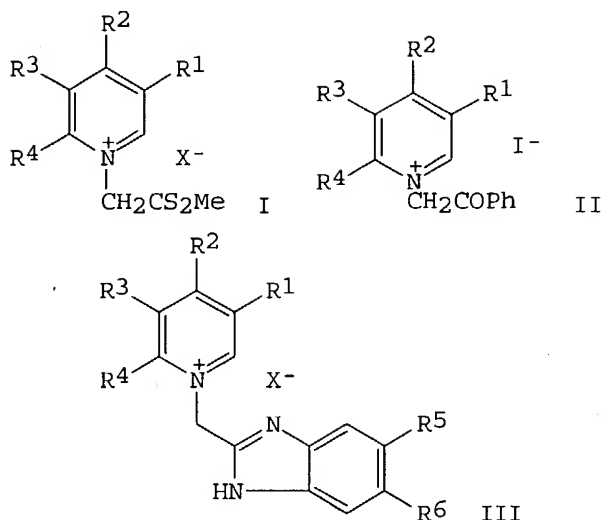
DOCUMENT TYPE: Journal

LANGUAGE: English

10/729,313

OTHER SOURCE(S):  
GI

CASREACT 111:153700



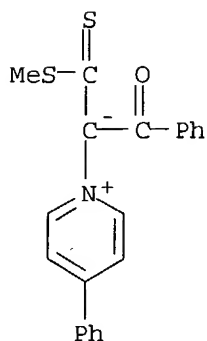
AB N-[(Methylthio)thiocarbonylmethyl]azinium salts I (R1-R4 = H, X = iodo; R1 = R3 = R4 = H, R2 = Ph, X = iodo; R1 = CONH2, R2-R4 = H, X = BF4; R1 = Br, R2-R4 = H, X = iodo) were prepared by the reaction of CS2 and MeI with phenacylazinium salts II in a two-phase system followed by acid treatment of the ylide thus obtained. Condensation of I with o-phenylenediamine derivs. gave good yields of N-(benzimidazolylmethyl)azinium salts III [R1 = R3-R6 = H, R2 = Ph, X = iodo; R1 = R3 = R4 = H, R2 = Ph, R5 = R6 = Me, X = iodo; R1 = R3 = R4 = R6 = H, R2 = Ph, R5 = Cl, X = iodo; R1 = CONH2, R2-R6 = H, X = BF4; R1 = CONH2, R2-R4 = H, R5 = R6 = Me, X = BF4; R1 = Br, R2-R6 = H, X = iodo; R = Br, R2-R4 = H, R5 = R6 = Me, X = iodo; R1R2 = (CH:CH)2, R3-R6 = H, X = iodo; R1R2 = (CH:CH)2, R3 = R4 = H, R5 = R6 = Me, R = iodo; R1R2 = (CH:CH)2, R3 = R4 = R6 = H, R5 = Cl].

IT **112777-14-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and debenzoylation of)

RN 112777-14-7 CAPLUS

CN Pyridinium, 4-phenyl-, 1-benzoyl-2-(methylthio)-2-thioxoethylide (9CI)  
(CA INDEX NAME)



IT **16844-15-8P**, 1-Phenacyl-4-phenylpyridinium bromide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

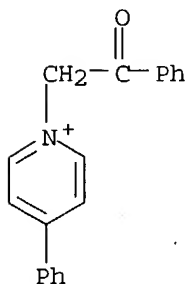
10/729,313

(Reactant or reagent)

(preparation, thiocarbonylation, and esterification of)

RN 16844-15-8 CAPLUS

CN Pyridinium, 1-(2-oxo-2-phenylethyl)-4-phenyl-, bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>

L4 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:75210 CAPLUS

DOCUMENT NUMBER: 108:75210

TITLE: Synthesis and structure of dithioester stabilized pyridinium ylides

AUTHOR(S): Alvarez-Builla, J.; Galvez, E.; Cuadro, A. M.; Florencio, F.; Garcia Blanco, S.

CORPORATE SOURCE: Dep. Quim. Org., Univ. Alcala de Henares, Madrid, Spain

SOURCE: Journal of Heterocyclic Chemistry (1987), 24(4), 917-26

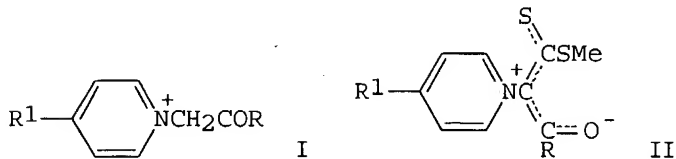
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 108:75210

GI



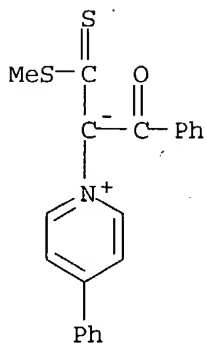
AB Phase-transfer dithiocarboxylation and methylation of pyridinium and isoquinolinium salts, e.g. I (R = Ph, substituted Ph, OMe, R1 = H; R = R1 = Ph), with CS2-MeI/water-K2CO3 gave dithioester stabilized pyridinium and isoquinolinium ylides, e.g. II, in 27-90% yields. The structures of II (R = Ph, R1 = H, Ph) were proved by x-ray crystallog.

IT 112777-14-7P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and crystal structure of)

RN 112777-14-7 CAPLUS

CN Pyridinium, 4-phenyl-, 1-benzoyl-2-(methylthio)-2-thioxoethylide (9CI) (CA INDEX NAME)

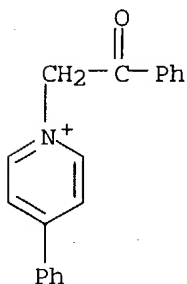


IT 16844-15-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and phase-transfer dithioester formation of, with carbon disulfide and Me iodide)

RN 16844-15-8 CAPLUS

CN Pyridinium, 1-(2-oxo-2-phenylethyl)-4-phenyl-, bromide (9CI) (CA INDEX NAME)

● Br<sup>-</sup>

L4 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:490732 CAPLUS

DOCUMENT NUMBER: 101:90732

TITLE: Reactions of pyridinium ylides with aldehydes and with Michael acceptors

AUTHOR(S): Katritzky, Alan R.; Rubio, Olga; Aurrecoechea, Jose M.; Patel, Ranjan C.

CORPORATE SOURCE: Dep. Chem., Univ. Florida, Gainesville, FL, 32611, USA

SOURCE: Journal of the Chemical Society, Perkin Transactions  
1: Organic and Bio-Organic Chemistry (1972-1999)  
(1984), (5), 941-5

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 1-Methyl- and 1-allyl-2,4,6-triphenylpyridinium cations (I and II, resp.) reacted with aromatic aldehydes at the  $\alpha$ -CH<sub>2</sub> to give aldol products. Thus, treatment of PhCHO with I.F<sub>3</sub>CSO<sub>3</sub><sup>-</sup> or II.BF<sub>4</sub><sup>-</sup> in EtOH/MeOH/CHCl<sub>3</sub> containing NaOH at 0° gave RCH<sub>2</sub>CHPhOH and CH<sub>2</sub>:CHCHRCHPhOH [R = N-(2,4,6-triphenylpyridinium)], resp. Thermolysis of the allyl adducts gave carbonyl compds., whereas the thermolysis of I took a variety of

10/729,313

paths.

IT 91226-09-4P 91226-11-8P 91226-23-2P

91226-27-6P 91226-29-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and thermolysis of)

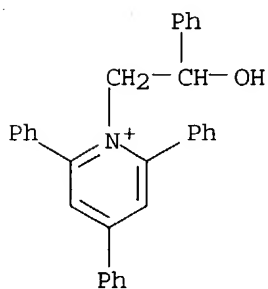
RN 91226-09-4 CAPLUS

CN Pyridinium, 1-(2-hydroxy-2-phenylethyl)-2,4,6-triphenyl-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 91226-08-3

CMF C31 H26 N O

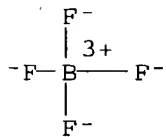


CM 2

CRN 14874-70-5

CMF B F4

CCI CCS



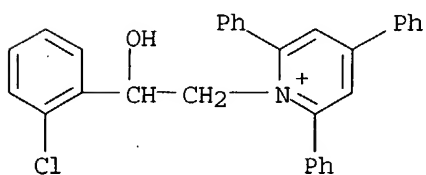
RN 91226-11-8 CAPLUS

CN Pyridinium, 1-[2-(2-chlorophenyl)-2-hydroxyethyl]-2,4,6-triphenyl-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

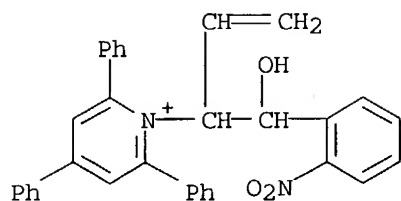
CRN 91226-10-7

CMF C31 H25 Cl N O





10/729,313

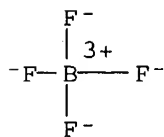


CM 2

CRN 14874-70-5

CMF B F4

CCI CCS



L4 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:438321 CAPLUS

DOCUMENT NUMBER: 101:38321

TITLE: Synthesis and conversion of methoxyphenyl-substituted pyridines

AUTHOR(S): Soldatenkov, A. T.; Radzhan, P. K.; Prostakov, N. S.

CORPORATE SOURCE: Univ. Druzhby Nar. im. Lumumby, Moscow, USSR

SOURCE: Izvestiya Vysshikh Uchebnykh Zavedenii, Khimiya i

Khimicheskaya Tekhnologiya (1984), 27(3), 294-8

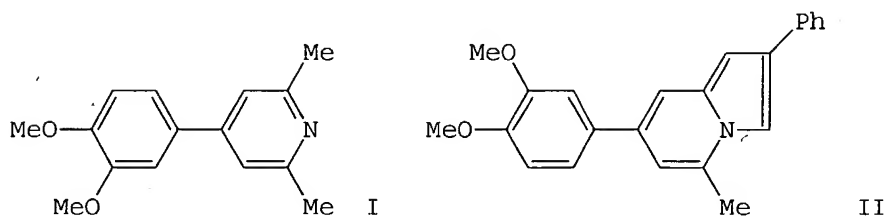
CODEN: IVUKAR; ISSN: 0579-2991

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 101:38321

GI



AB Chichibabin amination of 4-MeOC6H4CHO and 3,4-(MeO)2C6H3CHO with EtCHO and PrCHO was used to prepare a series of title compds.;  $\alpha$ -aryl isomers predominated over  $\gamma$ -isomers. 3,4-(MeO)2C6H3CHO and Me2CO gave the 4-aryl-2,6-dimethylpyridine I, which was, e.g., quaternized with PhCOCH2Br and cyclized with KOH to give the indolizine II.

IT 90713-97-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

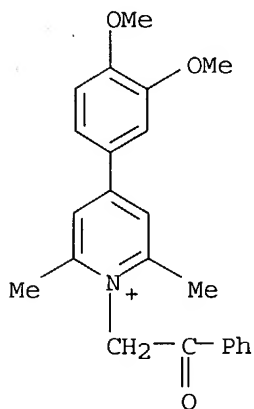
10/729,313

(Reactant or reagent)

(preparation and cyclization of)

RN 90713-97-6 CAPLUS

CN Pyridinium, 4-(3,4-dimethoxyphenyl)-2,6-dimethyl-1-(2-oxo-2-phenylethyl)-, bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>

L4 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1983:453566 CAPLUS

DOCUMENT NUMBER: 99:53566

TITLE: Preparation and reactions of 1-cyanomethyl-2,4,6-trisubstituted pyridinium ylides

AUTHOR(S): Katritzky, Alan R.; Yeung, Wing Kai; Patel, Ranjan C.; Burgess, Kevin

CORPORATE SOURCE: Dep. Chem., Univ. Florida, Gainesville, FL, 32611, USA

SOURCE: Heterocycles (1983), 20(4), 623-32

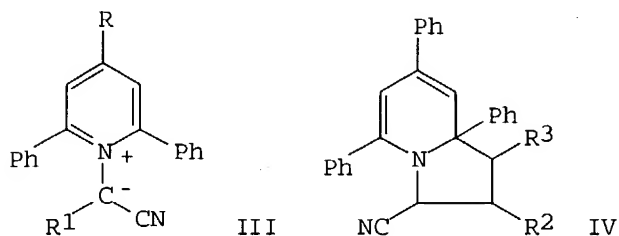
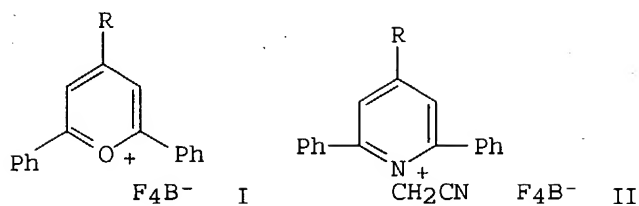
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 99:53566

GI



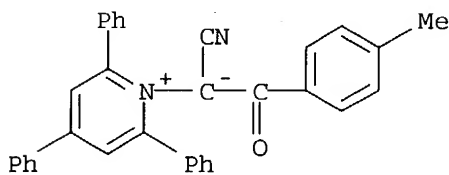
AB Amination of pyrylium salts I (R = Ph, CO<sub>2</sub>Et) by H<sub>2</sub>NCH<sub>2</sub>CN in CH<sub>2</sub>Cl<sub>2</sub> containing Et<sub>3</sub>N gave the pyridinium salts II, which were treated with electrophiles under basic conditions to give solvatochromic ylides III (R<sub>1</sub> = Bz, 4-MeC<sub>6</sub>H<sub>4</sub>CO, 4-ClC<sub>6</sub>H<sub>4</sub>CO, Ac, PhNHCO, PhNHCS, CO<sub>2</sub>Et). Cyclization of II (R = Ph) with unsatd. carbonyl compds. gave tetrahydroindolizines IV (R<sub>2</sub>, R<sub>3</sub> = H, CO<sub>2</sub>Et; H, CN; Ph, Bz; tolyl, Bz; Ph, CHO). Pyrolysis of III (R = Ph; R<sub>1</sub> = 4-MeC<sub>6</sub>H<sub>4</sub>CO) gave 3-cyano-2,4,6-triphenylpyridine.

IT **86445-57-0P 86445-58-1P 86445-59-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and solvatochromic properties of)

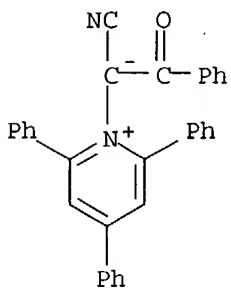
RN 86445-57-0 CAPLUS

CN Pyridinium, 2,4,6-triphenyl-, 1-cyano-2-(4-methylphenyl)-2-oxoethylide  
(9CI) (CA INDEX NAME)



RN 86445-58-1 CAPLUS

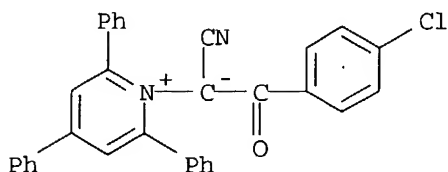
CN Pyridinium, 2,4,6-triphenyl-, 1-cyano-2-oxo-2-phenylethylide (9CI) (CA INDEX NAME)



RN 86445-59-2 CAPLUS

10/729,313

CN Pyridinium, 2,4,6-triphenyl-, 2-(4-chlorophenyl)-1-cyano-2-oxoethylide  
(9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1983:125820 CAPLUS

DOCUMENT NUMBER: 98:125820

TITLE: The synthesis of N-vinylpyridiniums

AUTHOR(S): Katritzky, Alan R.; Rubio-Teresa, Olga; Patel, Ranjan C.

CORPORATE SOURCE: Dep. Chem., Univ. Florida, Gainesville, FL, 32611, USA

SOURCE: Chemica Scripta (1982), 20(4), 147-54

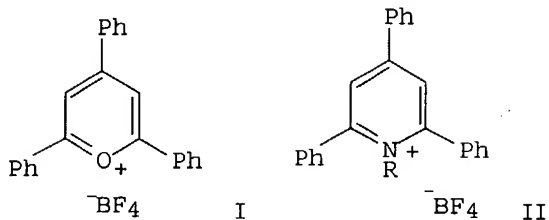
CODEN: CSRPB9; ISSN: 0004-2056

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 98:125820

GI



AB  $\beta$ -Hydroxy amines condensed with pyrylium salts to give N-( $\beta$ -hydroxyalkyl)pyridiniums which yield N-vinylpyridiniums via the corresponding N-( $\beta$ -chloroalkyl) derivs. The chloro derivs. can cyclize with an  $\alpha$ -Ph ring to give benzoquinoliziniums; the N-( $\beta$ -hydroxyalkyl)pyridiniums salts containing  $\alpha$ -ethoxycarbonyl groups cyclize to give lactones. Thus, treatment of the pyrylium fluoroborate I with HOCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> gave 87% pyridinium fluoroborate II (R = HOCH<sub>2</sub>CH<sub>2</sub>), which was chlorinated by SOCl<sub>2</sub> to give II (R = ClCH<sub>2</sub>CH<sub>2</sub>). Dehydrochlorination of the latter by NaOH in MeOH-EtOH gave II (R = vinyl).

IT 85017-94-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and chlorination of)

RN 85017-94-3 CAPLUS

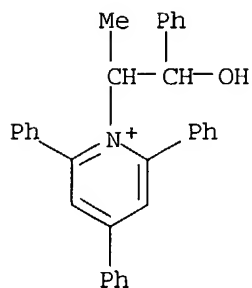
CN Pyridinium, 1-(2-hydroxy-1-methyl-2-phenylethyl)-2,4,6-triphenyl-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 85017-93-2

10/729,313

CMF C32 H28 N O

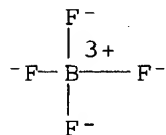


CM 2

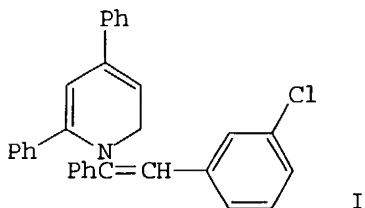
CRN 14874-70-5

CMF B F4

CCI CCS



L4 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1982:52151 CAPLUS  
DOCUMENT NUMBER: 96:52151  
TITLE: Pyridinium ylides derived from pyryliums and amines  
and a novel rearrangement of 1-vinyl-1,2-  
dihydropyridines  
AUTHOR(S): Katritzky, Alan R.; Chermprapai, Amornsri; Patel,  
Ranjan C.; Tarraga-Tomas, Alberto  
CORPORATE SOURCE: Sch. Chem. Sci., Univ. East Anglia, Norwich, UK  
SOURCE: Journal of Organic Chemistry (1982), 47(3), 492-7  
CODEN: JOCEAH; ISSN: 0022-3263  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 96:52151  
GI



AB Condensation of 1-benzyl-2,4-diphenylpyridiniums with benzaldehydes gave  
oxazolopyridines which were dehydrated to 1-styryl-1,2-dihydropyridines.  
Pyrolysis styrylpyridine I gave 2,4,6-triphenylpyridine and  
m-chlorostyrene by a ring-enlargement-ring-contraction mechanism; this is

10/729,313

a general reaction of 1-vinyl-1,2-dihydropyridines.

IT 80561-20-2P 80561-22-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and dehydration of)

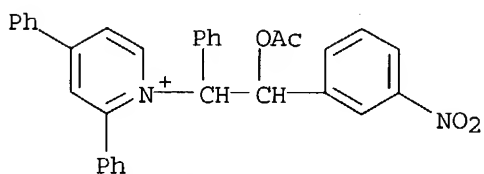
RN 80561-20-2 CAPLUS

CN Pyridinium, 1-[2-(acetyloxy)-2-(3-nitrophenyl)-1-phenylethyl]-2,4-diphenyl-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 80561-19-9

CMF C33 H27 N2 O4

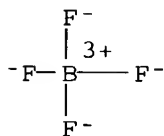


CM 2

CRN 14874-70-5

CMF B F4

CCI CCS



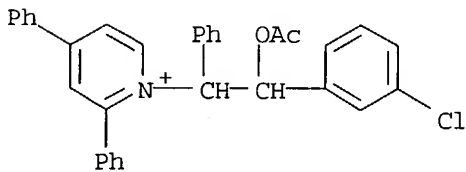
RN 80561-22-4 CAPLUS

CN Pyridinium, 1-[2-(acetyloxy)-2-(3-chlorophenyl)-1-phenylethyl]-2,4-diphenyl-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 80561-21-3

CMF C33 H27 Cl N O2



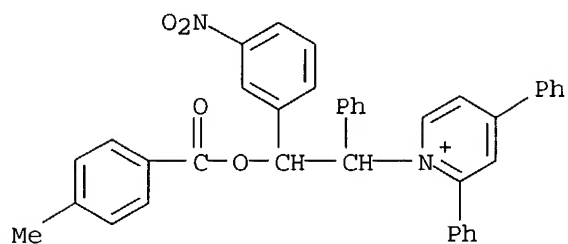
CM 2

CRN 14874-70-5

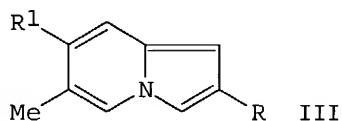
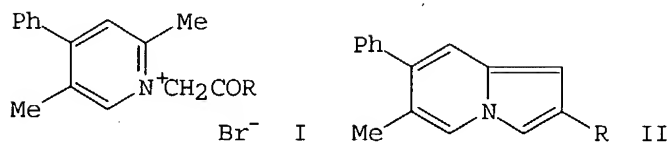
CMF B F4

CCI CCS

2,4-diphenyl-, chloride (9CI) (CA INDEX NAME)

● Cl<sup>-</sup>

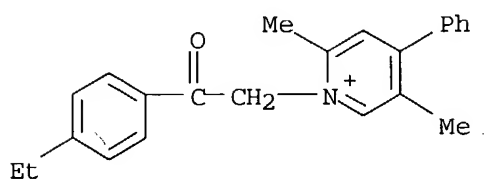
L4 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1981:461912 CAPLUS  
 DOCUMENT NUMBER: 95:61912  
 TITLE: Synthesis of 6-methyl-2-arylindolizines containing phenyl, p-ethylbenzyl, or 2,3,4-trimethylbenzyl substituents at carbon-7  
 AUTHOR(S): Prostakov, N. S.; Kuznetsov, V. I.; Romero, Ivan; Zvolinskii, V. P.  
 CORPORATE SOURCE: USSR  
 SOURCE: Zhurnal Organicheskoi Khimii (1981), 17(3), 653-7  
 CODEN: ZORKAE; ISSN: 0514-7492  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 OTHER SOURCE(S): CASREACT 95:61912  
 GI



AB Treatment of 2,5-dimethyl-4-phenylpyridine with RCOCH<sub>2</sub>Br (R = p-EtC<sub>6</sub>H<sub>4</sub>, 2,4-xylyl, 2,4,6-Me<sub>3</sub>C<sub>6</sub>H<sub>2</sub>, p-MeOC<sub>6</sub>H<sub>4</sub>, p-ClC<sub>6</sub>H<sub>4</sub>, p-tolyl) gave 44-90% pyridinium salts I, which cyclized to give 14-93% indolizines II. Indolizines III (R = Ph, p-BrC<sub>6</sub>H<sub>4</sub>, p-EtC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = p-EtC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>; R = p-tolyl, p-MeOC<sub>6</sub>H<sub>4</sub>, p-ClC<sub>6</sub>H<sub>4</sub>, p-BrC<sub>6</sub>H<sub>4</sub>, 2,4,6-Me<sub>3</sub>C<sub>6</sub>H<sub>2</sub>; R<sub>1</sub> = 2,4,6-Me<sub>3</sub>C<sub>6</sub>H<sub>2</sub>CH<sub>2</sub>) were prepared similarly.  
 IT 78394-77-1P 78394-78-2P 78394-79-3P  
 78394-80-6P 78394-81-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and cyclocondensation of)  
 RN 78394-77-1 CAPLUS  
 CN Pyridinium, 1-[2-(4-ethylphenyl)-2-oxoethyl]-2,5-dimethyl-4-phenyl-,

10/729,313

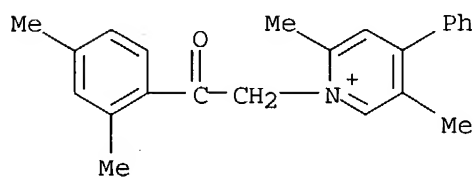
bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>

RN 78394-78-2 CAPLUS

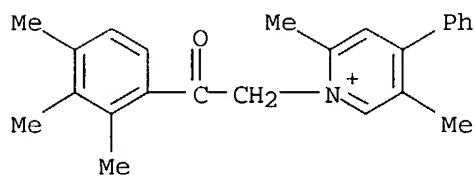
CN Pyridinium, 1-[2-(2,4-dimethylphenyl)-2-oxoethyl]-2,5-dimethyl-4-phenyl-,  
bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>

RN 78394-79-3 CAPLUS

CN Pyridinium, 2,5-dimethyl-1-[2-oxo-2-(2,3,4-trimethylphenyl)ethyl]-4-phenyl-,  
, bromide (9CI) (CA INDEX NAME)



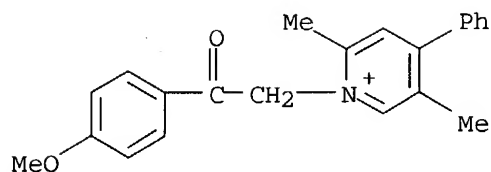
● Br<sup>-</sup>

RN 78394-80-6 CAPLUS

CN Pyridinium, 1-[2-(4-methoxyphenyl)-2-oxoethyl]-2,5-dimethyl-4-phenyl-,  
bromide (9CI) (CA INDEX NAME)



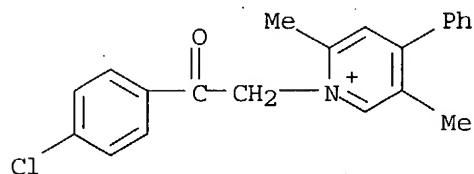
10/729,313



● Br<sup>-</sup>

RN 78394-81-7 CAPLUS

CN Pyridinium, 1-[2-(4-chlorophenyl)-2-oxoethyl]-2,5-dimethyl-4-phenyl-,  
bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>

L4 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1980:76245 CAPLUS

DOCUMENT NUMBER: 92:76245

TITLE: Use of 2,5-dimethyl-4-phenyl(benzyl)pyridines in  
syntheses of substituted indolizines

AUTHOR(S): Prostakov, N. S.; Gaivoronskaya, L. A.; Anastasi, R.  
I.

CORPORATE SOURCE: Univ. Druzhby Nar. im. P. Lumumbe, Moscow, USSR  
SOURCE: Izvestiya Vysshikh Uchebnykh Zavedenii, Khimiya i  
Khimicheskaya Tekhnologiya (1979), 22(10), 1197-201  
CODEN: IVUKAR; ISSN: 0579-2991

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 92:76245

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Reaction of pyridines I (R = Ph, benzyl) with R1C6H4COCH2Br (R1 = m-O2N,  
p-Br) gave 85-99% II, which were cyclized to give 24-70% phenylindolizines  
III. II and di-Me acetylenedicarboxylate gave 14.3-23% IV. V were prepared  
in 28.5-72% yield by reaction of II with p-O2NC6H4COCl.

IT 54485-87-9P 72768-09-3P 72768-11-7P

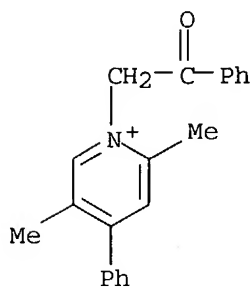
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reactions of)

RN 54485-87-9 CAPLUS

CN Pyridinium, 2,5-dimethyl-1-(2-oxo-2-phenylethyl)-4-phenyl-, bromide (9CI)

10/729,313

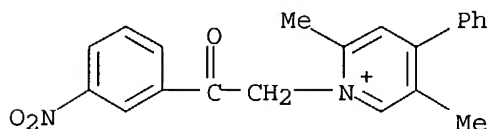
(CA INDEX NAME)



● Br<sup>-</sup>

RN 72768-09-3 CAPLUS

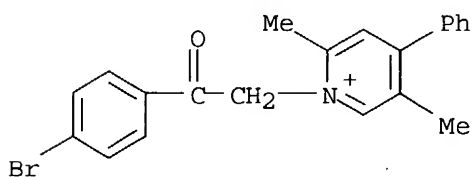
CN Pyridinium, 2,5-dimethyl-1-[2-(3-nitrophenyl)-2-oxoethyl]-4-phenyl-,  
bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>

RN 72768-11-7 CAPLUS

CN Pyridinium, 1-[2-(4-bromophenyl)-2-oxoethyl]-2,5-dimethyl-4-phenyl-,  
bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>

L4 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1979:523620 CAPLUS

DOCUMENT NUMBER: 91:123620

TITLE: Substituted indolizines and indenoindolizines

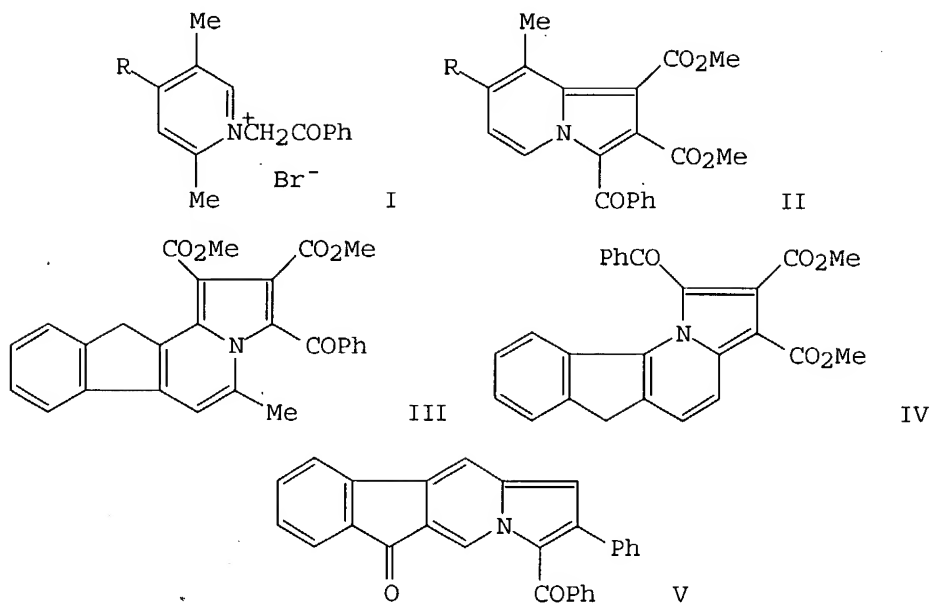
AUTHOR(S): Prostakov, N. S.; Gaivoronskaya, L. A.; Anastassi,  
Rogiros; Sarata Mohomon, Kamara Maiga; Savina, A. A.

CORPORATE SOURCE: Univ. Druzhb. Nar. im. Lumumby, Moscow, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1979), (6),  
794-8

DOCUMENT TYPE:  
 LANGUAGE:  
 OTHER SOURCE(S):  
 GI

Journal  
 Russian  
 CASREACT 91:123620



AB Reaction of pyridinium salts I (R = Ph, benzyl) with MeO<sub>2</sub>CC.tplbond.CCO<sub>2</sub>Me in the presence of Et<sub>3</sub>N gave 11-2% II. Indenoindolizines III and IV were prepared similarly in 17.5 and 70% yield, resp. Treatment of 9-oxo-3-methyl-2-phenacyl-2-azafluorenium bromide with K<sub>2</sub>CO<sub>3</sub> gave 67% 5-oxo-2-phenylindeno[2,3-f]indolizine, which was converted to V by treatment with Bz<sub>2</sub>O.

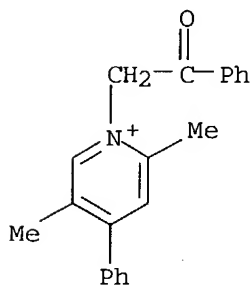
IT **54485-87-9**

RL: RCT (Reactant); RACT (Reactant or reagent)

(cycloaddn. reaction of, with di-Me acetylenedicarboxylate)

RN 54485-87-9 CAPLUS

CN Pyridinium, 2,5-dimethyl-1-(2-oxo-2-phenylethyl)-4-phenyl-, bromide (9CI)  
 (CA INDEX NAME)



● Br<sup>-</sup>

10/729,313

L4 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1979:507874 CAPLUS

DOCUMENT NUMBER: 91:107874

TITLE: 2,5-Dimethyl-4-nitroaryl(aminoaryl)pyridines in syntheses of substituted azobenzenes and indolizines

AUTHOR(S): Prostakov, N. S.; Krapivko, A. P.; Soldatenkov, A. T.; Sergeeva, N. D.; Hadi, Heir

CORPORATE SOURCE: Univ. Druzh. Nar. im. Lumumby, Moscow, USSR

SOURCE: Izvestiya Vysshikh Uchebnykh Zavedenii, Khimiya i Khimicheskaya Tekhnologiya (1979), 22(5), 548-53  
CODEN: IVUKAR; ISSN: 0579-2991

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 91:107874

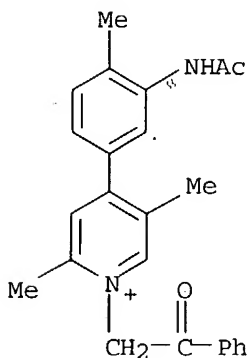
AB Reduction of (nitrotolyl)pyridine I (R = NO<sub>2</sub>) gave 87.5% I (R = NH<sub>2</sub>), which was acetylated to give 81% (R = NHAc) (II). Treatment of II with PhCOCH<sub>2</sub>Br gave 94% of the expected 1-phenacylpyridinium bromide salt, which was converted to its ylide and then cyclized to give indolizine III; the salt could also be directly cyclized to III. Azobenzene IV was obtained in 23% yield by treatment of 2,5-dimethyl-4-(p-nitrophenyl)pyridine with NaOH and powdered Zn. Quaternization of IV with PhCOCH<sub>2</sub>Br and subsequent cyclization gave V.

IT 71153-35-0P 71153-36-1P 71153-42-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and cyclization of)

RN 71153-35-0 CAPLUS

CN Pyridinium, 4-[3-(acetylamino)-4-methylphenyl]-2,5-dimethyl-1-(2-oxo-2-phenylethyl)-, bromide (9CI) (CA INDEX NAME)

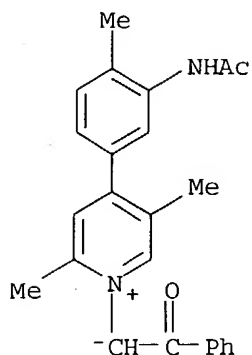


● Br<sup>-</sup>

RN 71153-36-1 CAPLUS

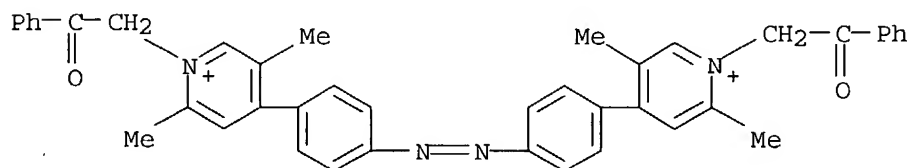
CN Pyridinium, 4-[3-(acetylamino)-4-methylphenyl]-2,5-dimethyl-1-(2-oxo-2-phenylethyl)-, inner salt (9CI) (CA INDEX NAME)

10/729,313



RN 71153-42-9 CAPLUS

CN Pyridinium, 4,4'-(azodi-4,1-phenylene)bis[2,5-dimethyl-1-(2-oxo-2-phenylethyl)-, dibromide (9CI) (CA INDEX NAME)



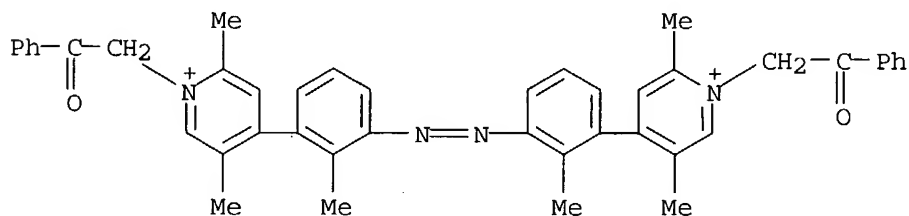
● 2 Br<sup>-</sup>

IT 71153-47-4P 71248-99-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 71153-47-4 CAPLUS

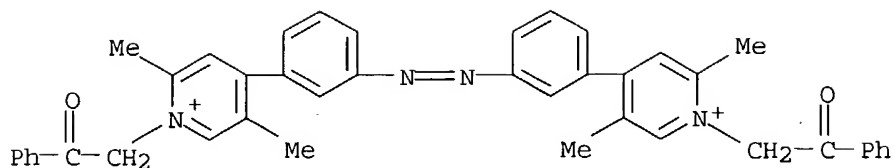
CN Pyridinium, 4,4'-(azobis(2-methyl-3,1-phenylene))bis[2,5-dimethyl-1-(2-oxo-2-phenylethyl)-, dibromide (9CI) (CA INDEX NAME)



● 2 Br<sup>-</sup>

RN 71248-99-2 CAPLUS

CN Pyridinium, 4,4'-(azodi-3,1-phenylene)bis[2,5-dimethyl-1-(2-oxo-2-phenylethyl)-, dibromide (9CI) (CA INDEX NAME)

● 2 Br<sup>-</sup>

L4 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1979:456794 CAPLUS

DOCUMENT NUMBER: 91:56794

TITLE: Preparation of pyridinium ylides, 1,4-dihydropyridines, and indolizines from  $\gamma$ -nitrophenyl- and  $\gamma$ -nitrobenzylpyridines

AUTHOR(S): Prostakov, N. S.; Krapivko, A. P.; Soldatenkov, A. T.; Savina, A. A.; Romero, I.

CORPORATE SOURCE: Univ. Druzh. Nar., Moscow, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1979), (3), 384-9

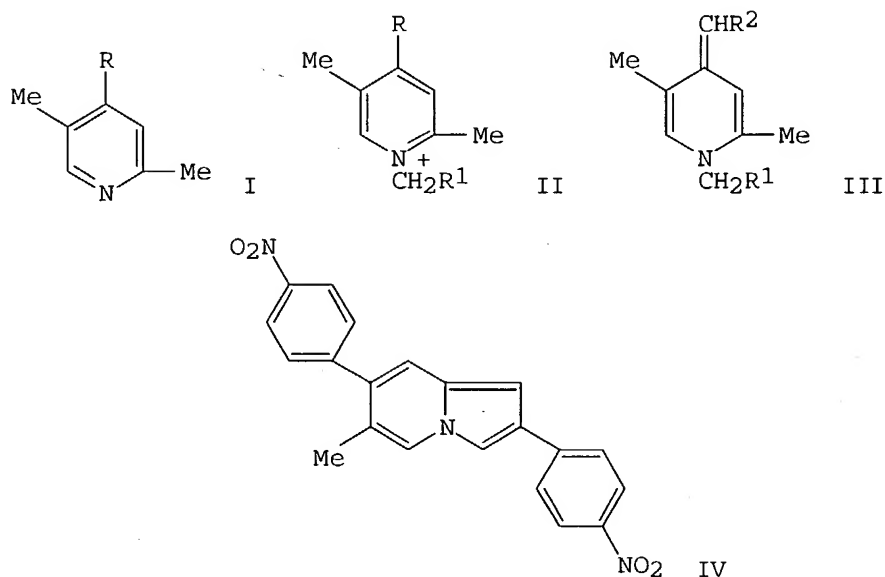
CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 91:56794

GI



AB Reaction of pyridines I (R = p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 2,4-(O<sub>2</sub>N)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>, PhCH<sub>2</sub>, 4,3-Me(O<sub>2</sub>N)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>) with BrCH<sub>2</sub>R<sub>1</sub> (R<sub>1</sub> = Bz, COC<sub>6</sub>H<sub>4</sub>NO<sub>2</sub>-p, benzyl) gave 76-97% II. III [R<sub>2</sub> = p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, Ph, 2,4-(O<sub>2</sub>N)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>] were obtained in 54-93% yield by treatment of the resp. II with K<sub>2</sub>CO<sub>3</sub> at 0°. Five indolizinsones, e.g., IV were obtained in 53-96% yield by reaction of the corresponding II with K<sub>2</sub>CO<sub>3</sub> at reflux.

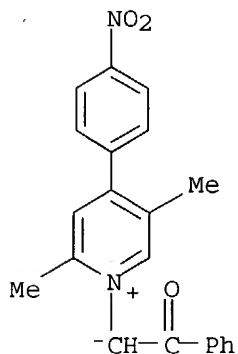
IT 70586-02-6P 70586-03-7P

10/729,313

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and conversion of, to indolizines)

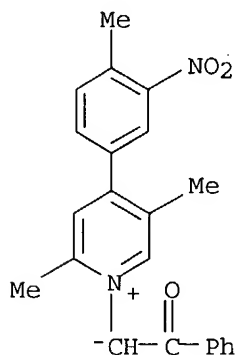
RN 70586-02-6 CAPLUS

CN Pyridinium, 2,5-dimethyl-4-(4-nitrophenyl)-, 2-oxo-2-phenylethylide (9CI)  
(CA INDEX NAME)



RN 70586-03-7 CAPLUS

CN Pyridinium, 2,5-dimethyl-4-(4-methyl-3-nitrophenyl)-, 2-oxo-2-phenylethylide (9CI) (CA INDEX NAME)



IT 70585-95-4P 70585-96-5P

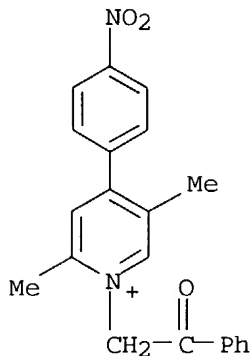
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation and reaction of, with potassium carbonate)

RN 70585-95-4 CAPLUS

CN Pyridinium, 2,5-dimethyl-4-(4-nitrophenyl)-1-(2-oxo-2-phenylethyl)-, bromide (9CI) (CA INDEX NAME)

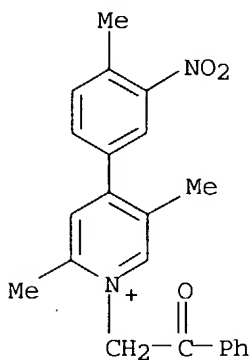
10/729,313



● Br<sup>-</sup>

RN 70585-96-5 CAPLUS

CN Pyridinium, 2,5-dimethyl-4-(4-methyl-3-nitrophenyl)-1-(2-oxo-2-phenylethyl)-, bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>

L4 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:432794 CAPLUS

DOCUMENT NUMBER: 85:32794

TITLE: Synthesis of indolizines and pyridinium ylides from 4,5-disubstituted  $\alpha$ -picolines

AUTHOR(S): Prostakov, N. S.; Gaivoronskaya, L. A.; Sarata Mokhomon, Kamara M.; Zvolinskii, V. P.; Savina, A. A.; Makhsida, Munzer; Opaso Carrasco, Viktor H.

CORPORATE SOURCE: Univ. Druzhby Nar. im. Lumumby, Moscow, USSR  
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1976), (4), 506-10

CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE: Journal

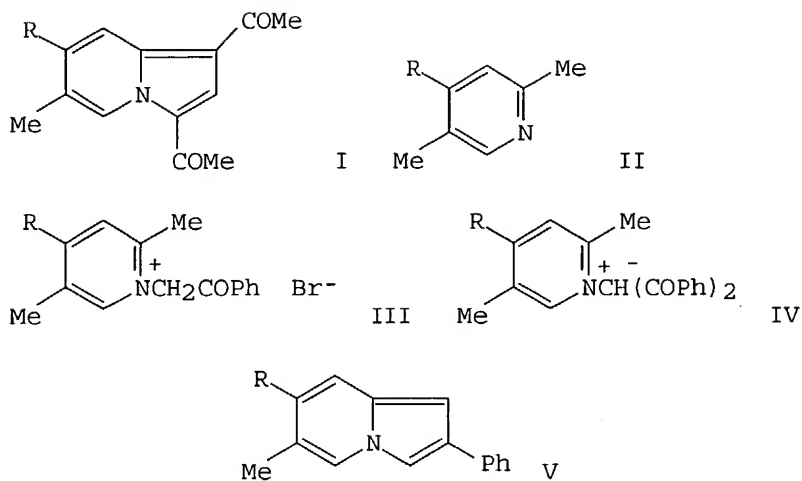
LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 85:32794

GI



10/729,313



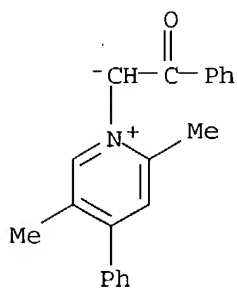
AB Indolizines I (R = Ph, PhCH<sub>2</sub>) were obtained in 20% yield by cyclization of lutidines (II) with Ac<sub>2</sub>O. Treatment of I with PhCOCH<sub>2</sub>Br gave 93% III (R = Ph, PhCH<sub>2</sub>) which were converted to ylides IV (R = Ph, PhCH<sub>2</sub>) in 40 and 34.5% yields. Treatment of III with KOH gave .apprx.10% indolizines V (R = Ph, PhCH<sub>2</sub>).

IT 59647-42-6P 59647-43-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 59647-42-6 CAPLUS

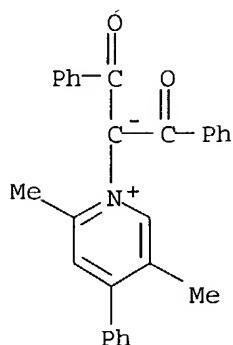
CN Pyridinium, 2,5-dimethyl-4-phenyl-, 2-oxo-2-phenylethylide (9CI) (CA INDEX NAME)



RN 59647-43-7 CAPLUS

CN Pyridinium, 2,5-dimethyl-4-phenyl-, 1-benzoyl-2-oxo-2-phenylethylide (9CI)  
(CA INDEX NAME)

10/729,313

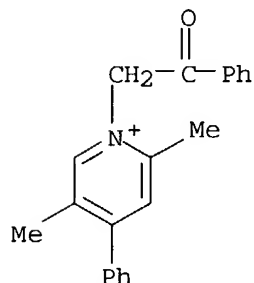


IT 54485-87-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation, cyclization, and ylide formation from)

RN 54485-87-9 CAPLUS

CN Pyridinium, 2,5-dimethyl-1-(2-oxo-2-phenylethyl)-4-phenyl-, bromide (9CI)  
(CA INDEX NAME)



● Br<sup>-</sup>

L4 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:174443 CAPLUS

DOCUMENT NUMBER: 84:174443

TITLE: Antimicrobial activity of pyridinium salts of some  
α-halocarbonyl compounds

AUTHOR(S): Kondratenko, G. P.; Geonya, N. I.; Perel'man, L. A.;  
Litvinenko, L. M.

CORPORATE SOURCE: Donetsk. Med. Inst. im. Gor'kogo, Donetsk, USSR  
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1976), 10(2),  
68-71

CODEN: KHFZAN; ISSN: 0023-1134

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 84:174443

AB Twenty-six α-halocarbonyl derivs. of pyridinium salts were synthesized and their activity against gram-pos. and gram-neg. microorganisms tested. Introduction of a methyl group into the phenacyl moiety of phenacylpyridinium bromide [16883-69-5] increased antibacterial activity. Introduction of an alkyl group into the phenacyl moiety caused a greater increase in activity than introduction of an alkyl group on the pyridinium nucleus.

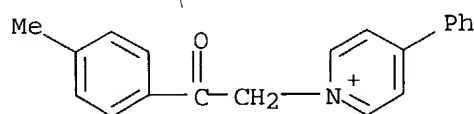
10/729,313

IT 59224-32-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and bactericidal activity of)

RN 59224-32-7 CAPLUS

CN Pyridinium, 1-[2-(4-methylphenyl)-2-oxoethyl]-4-phenyl-, bromide (9CI)  
(CA INDEX NAME)



● Br<sup>-</sup>

L4 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:57571 CAPLUS

DOCUMENT NUMBER: 82:57571

TITLE: Quaternary amine salts

INVENTOR(S): Gobron, Georges; Passedouet, Andre H.; Pipon, Robert

PATENT ASSIGNEE(S): Societe des usines chimiques de Rhone-Poulenc

SOURCE: Fr. Demande, 10 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2208901	A1	19740628	FR 1972-43053	19721204
FR 2208901	B1	19760820		
JP 50004081	A2	19750116	JP 1973-133656	19731130
JP 58024435	B4	19830520		
JP 57188569	A2	19821119	JP 1981-215907	19811228
JP 58024434	B4	19830520		

PRIORITY APPLN. INFO.: FR 1972-43053 19721204

GI For diagram(s), see printed CA Issue.

AB Piperidinopropanols I (R = Me, Et, CH<sub>2</sub>Ph) were prepared by treating the 4-substituted pyridine with p-PhCH<sub>2</sub>OC<sub>6</sub>H<sub>4</sub>COCHMeBr and reducing the resulting II over Pd-C.

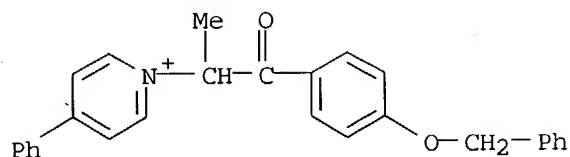
IT 54530-39-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reduction of)

RN 54530-39-1 CAPLUS

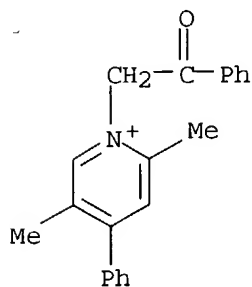
CN Pyridinium, 1-[1-methyl-2-oxo-2-[4-(phenylmethoxy)phenyl]ethyl]-4-phenyl-, bromide (9CI) (CA INDEX NAME)

10/729,313



●  $\text{Br}^-$

L4 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1974:520371 CAPLUS  
DOCUMENT NUMBER: 81:120371  
TITLE: Synthesis of substituted indolizines from  
1-phenacyl-5-methyl-4-phenyl-2-phenacylidene-1,2-  
dihydropyridine  
AUTHOR(S): Prostakov, N. S.; Baktibaev, O. B.  
CORPORATE SOURCE: Univ. Druzh. Nar. im. Lumumby, Moscow, USSR  
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1974), (6),  
788-91  
CODEN: KGSSAQ; ISSN: 0132-6244  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
GI For diagram(s), see printed CA Issue.  
AB Reaction of 2,5-dimethyl-4-phenylpyridine with  $\text{BrCH}_2\text{COPh}$  gave 97%  
2,5-dimethyl-1-phenacyl-4-phenylpyridinium bromide which when treated with  
 $\text{BzCl}$  gave 95% of the pyridine I. Heating I with  $\text{Ac}_2\text{O}$  gave a mixture of the  
indolizines II, III and IV. Treatment of 6-methyl-2,7-diphenylindolizine  
with  $\text{BzCl}$  gave IV. Reaction of I with  $\text{HCONH}_2$  gave a mixture of II and IV.  
IT **54485-87-9P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 54485-87-9 CAPLUS  
CN Pyridinium, 2,5-dimethyl-1-(2-oxo-2-phenylethyl)-4-phenyl-, bromide (9CI)  
(CA INDEX NAME)



●  $\text{Br}^-$

L4 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1973:84262 CAPLUS  
DOCUMENT NUMBER: 78:84262  
TITLE: Herbicidal 4-arylpyridinium salts

10/729,313

INVENTOR(S): Hedrich, Loren Wesley  
PATENT ASSIGNEE(S): Gulf Research and Development Co.  
SOURCE: Ger. Offen., 23 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2223716	A	19721207	DE 1972-2223716	19720516
US 3737299	A	19730605	US 1971-144246	19710517
US 3804612	A	19740416	US 1972-246332	19720421
CA 969771	A1	19750624	CA 1972-141856	19720511
ZA 7203301	A	19730328	ZA 1972-3301	19720515
GB 1341802	A	19731228	GB 1972-22676	19720515
AU 7242322	A1	19731122	AU 1972-42322	19720516
ES 402774	A1	19751016	ES 1972-402774	19720516
BE 783580	A1	19720918	BE 1972-117568	19720517
NL 7206683	A	19721121	NL 1972-6683	19720517
FR 2137998	A5	19721229	FR 1972-17576	19720517
FR 2137998	B1	19760806		
IT 957920	A	19731020	IT 1972-50311	19720517
PRIORITY APPLN. INFO.:			US 1971-144246	19710517
			US 1972-246332	19720421

GI For diagram(s), see printed CA Issue.

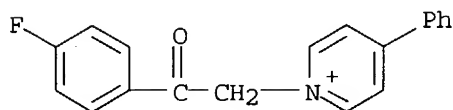
AB Fifty-seven title compds. (I; R = Ph, substituted phenyl; R1 = H, Me, CHMe2, CONEt2, Ph, substituted phenyl, etc.; R2 = H, Me; X = iodo, Cl, SCN, OAc, etc.) were prepared by reaction of R1CH2X with 4-arylpyridines. Some I were used against various weeds without affecting culture plants. Thus, 4-phenylpyridine and MeCl in DMF were heated 60-90 min at 100° in an autoclave and kept 2 hr at this temperature to give 87% I (R = Ph, R1 = R2 = H, X = Cl). Similarly prepared were some bispyridinium and indeno[2,1-c]pyridinium salts.

IT 39795-17-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 39795-17-0 CAPLUS

CN Pyridinium, 1-[2-(4-fluorophenyl)-2-oxoethyl]-4-phenyl-, chloride (9CI)  
(CA INDEX NAME)



● Cl<sup>-</sup>

L4 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1972:514018 CAPLUS

DOCUMENT NUMBER: 77:114018

TITLE: Pharmacologically active amino alcohols and their salts

PATENT ASSIGNEE(S): Continental Pharma

SOURCE: Fr. Demande, 74 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

CODEN: FRXXBL

Patent  
 French

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2070102	A1	19710910	FR 1970-35552	19701001
FR 2070102	A5	19710910		
FR 2070102	B1	19740823		
SE 384855	B	19760524	SE 1970-12989	19700924
CA 953723	A1	19740827	CA 1970-94617	19700930
AT 323135	B	19750625	AT 1970-8852	19700930
NO 132865	B	19751013	NO 1970-3712	19700930
FI 52714	B	19770801	FI 1970-2661	19700930
CS 191158	P	19790629	CS 1970-6633	19700930
DK 147853	B	19841224	DK 1970-4978	19700930
DK 147853	C	19860520		
NL 7014444	A	19710405	NL 1970-14444	19701001
NL 156688	B	19780516		
CH 542177	A	19731115	CH 1970-14520	19701001
HU 167354	P	19750927	HU 1970-PA1078	19701001
SU 578860	D	19771030	SU 1970-1482607	19701001
JP 54001693	B4	19790127	JP 1970-85610	19701001
			BE 1969-79767	19691001
			BE 1970-93537	19700903

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) were prepared from II by the methods given below. By reduction, when R7 = COCHR4NR5R6, e.g., 3-methyl-4-(methylthio)butyrophenone (III) was brominated, treated with tert-BuNH2 and reduced with NaBH4 to give I (R1 = 3-Me, R2 = 4-MeS, R3 = H, R4 = Et, R5 = H, R6 = tert-Bu). By reaction with R5R6NH when R7 = CH(OH)CHR4X, e.g. (X = halo), III, e.g., was treated with Al isopropoxide to give 1-[3-methyl-4-(methylthio)phenyl]-2-bromoethanol, which was converted to the ethylene oxide with alc. KOH. Treatment with HCl gas and Pr2NH gave I (R1 = 3-Me, R2 = 4-MeS, R3 = R4 = H, R5 = R6 = Pr). By reaction with R5R6NH or R5R6NOH with simultaneous reduction, when R7 = COCOR4, e.g., 3-methyl-4-(isopropylthio)- $\alpha$ -bromoacetophenone was converted to the glyoxal with Me2SO. This glyoxal with n-octylamine was reduced with NaBH4 to I (R1 = 3-Me, R2 = 4-iso-PrS, R3 = R4 = R5 = H, R6 = n-octyl). By reaction with R5R6CO with simultaneous reduction, when R7 = COCHR4NH2, e.g.,  $\alpha$ -bromo-3-methyl-4-methylthioacetophenone was converted to the  $\alpha$ -aminophenone with hexamine and HCl and reduced with NaBH4 to I (R1 = 3-Me, R2 = 4-MeS, R3 = R4 = R5 = R6 = H) (IV). IV was refluxed with Me2CO and reduced with NaBH4 to give I (R1 = 3-Me, R2 = 4-MeS, R3 = R4 = R5 = H, R6 = iso-Pr). About 200 similar compds. were prepared

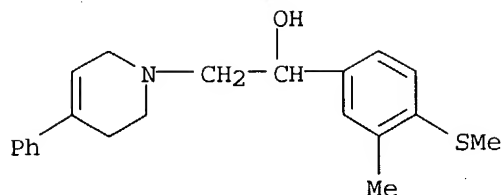
IT 32413-33-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (anesthetic and antiarrhythmic activity of)

RN 32413-33-5 CAPLUS

CN 1(2H)-Pyridineethanol, 3,6-dihydro- $\alpha$ -[3-methyl-4-(methylthio)phenyl]-4-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

10/729,313



● HCl

L4 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1972:46061 CAPLUS

DOCUMENT NUMBER: 76:46061

TITLE: Synthesis of 2-methyl(phenyl)-6-methyl-7-phenylindolizine and 2-methyl(phenyl)indolizino[6,7-a]indene

AUTHOR(S): Prostakov, N. S.; Baktibaev, O. B.

CORPORATE SOURCE: Univ. Druzhby Nar. im. Lumumby, Moscow, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1971), 7(10), 1395-7

CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI For diagram(s), see printed CA Issue.

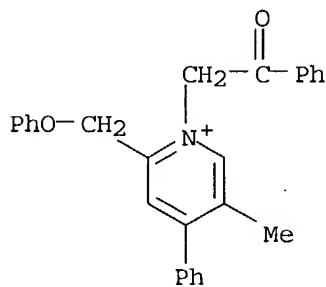
AB The title indolizines (I, R=H, OEt, OPh, R'=Me, Ph) and indolizinoindenes (II, R=Me, Ph) were prepared from 2-methyl-, 2-(ethoxymethyl)-, and 2-(phenoxymethyl)-5-methyl-4-phenylpyridines, and 3-methyl-2-azafluorene, resp., via the corresponding quaternary salts with 2-bromoketones.

IT 34844-71-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(ring closure of)

RN 34844-71-8 CAPLUS

CN Pyridinium, 5-methyl-1-(2-oxo-2-phenylethyl)-2-(phenoxymethyl)-4-phenyl-, bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>

L4 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1971:435390 CAPLUS

DOCUMENT NUMBER: 75:35390

TITLE: Pharmacologically-active amino alcohols

10/729,313

INVENTOR(S): Buu-Hoi, N. P.; Lambelin, Georges; Roba, Joseph;  
Jacques, Guy; Gillet, Claude  
PATENT ASSIGNEE(S): Continental Pharma  
SOURCE: Ger. Offen., 92 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2047028	A	19710415	DE 1970-2047028	19700924
DE 2047028	B2	19800807		
DE 2047028	C3	19810702		
GB 1321701	A	19730627	GB 1970-45107	19700922
SE 384855	B	19760524	SE 1970-12989	19700924
ES 384112	A1	19740701	ES 1970-384112	19700930
CA 953723	A1	19740827	CA 1970-94617	19700930
AT 323135	B	19750625	AT 1970-8852	19700930
NO 132865	B	19751013	NO 1970-3712	19700930
FI 52714	B	19770801	FI 1970-2661	19700930
CS 191158	P	19790629	CS 1970-6633	19700930
DK 147853	B	19841224	DK 1970-4978	19700930
DK 147853	C	19860520		
NL 7014444	A	19710405	NL 1970-14444	19701001
NL 156688	B	19780516		
CH 542177	A	19731115	CH 1970-14520	19701001
HU 167354	P	19750927	HU 1970-PA1078	19701001
SU 578860	D	19771030	SU 1970-1482607	19701001
JP 54001693	B4	19790127	JP 1970-85610	19701001
BE 799379	A4	19731112	BE 1973-130986	19730510
US 3954871	A	19760504	US 1974-456216	19740329
PRIORITY APPLN. INFO.:			BE 1969-739678	19691001
			BE 1970-93537	19700903
			BE 1969-79767	19691001
			US 1970-74117	19700921
			GB 1973-17001	19730409

GI For diagram(s), see printed CA Issue.

AB Amino alcs. (e.g., I), having among other properties,  $\beta$ -receptor-blocking, peripheral vasodilatory, antiarrhythmic, and hypotensive effects, were prepared by several methods. For example, 1-methyl-2-(methylthio)benzene was treated with  $\text{Me}(\text{CH}_2)_2\text{COCl}$  and  $\text{AlCl}_3$  in  $\text{CHCl}_3$  to give 3'-methyl-4'-(methylthio)butyrophenone, which on treatment with Br in Et<sub>2</sub>O gave the 2-bromo compound. This was treated with MeCN and  $\text{Me}_3\text{CNH}_2$  and the product reduced with  $\text{NaBH}_4$  to give 1-[3-methyl-4-(methylthio)phenyl]-2-(tert-butylamino)butanol. Preps. of 24 addnl. compds. and pharmacol. effects of 246 compds. were given.

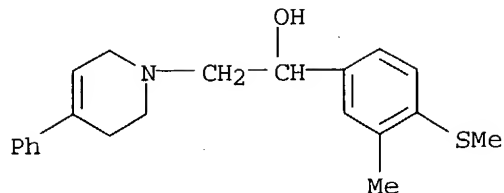
IT 32413-33-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 32413-33-5 CAPLUS

CN 1(2H)-Pyridineethanol, 3,6-dihydro- $\alpha$ -[3-methyl-4-(methylthio)phenyl]-4-phenyl-, hydrochloride (9CI) (CA INDEX NAME)





● HCl

L4 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1967:453263 CAPLUS

DOCUMENT NUMBER: 67:53263

TITLE: Kinetics of the reaction of pyridines with phenacyl bromide in nitrobenzene

AUTHOR(S): Litvinenko, L. M.; Perel'man, L. A.

CORPORATE SOURCE: Donetsk. Gos. Univ., Donetsk, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1967), 3(5), 936-42

CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE: Journal

LANGUAGE: Russian

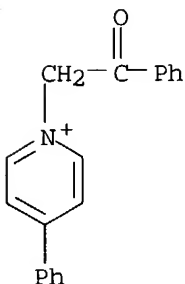
AB The title reaction was found to proceed irreversibly and nearly quant. with formation of compds. of general formula  $(RC_5H_4N+CH_2Bz)Br^-$  (I), where  $RC_5H_4N$  is substituted pyridine. The reaction kinetics were followed by potentiometric titration of the appearing  $Br^-$ . Rate consts. (k), activation energies (E), entropy changes ( $\Delta S$ ), and  $\log A$  (A frequency factor) were calculated. Also Hammett-Taft consts.,  $\sigma_0$  and  $\rho_0$ , were determined from the equation  $\log KR - \log KH = \rho_0 \sigma_0$  (R, m.p.,  $\sigma_0$ , K at 25°, K at 40°, K at 55° in l. mole<sup>-1</sup> sec.<sup>-1</sup> + 103, E in cal. mole<sup>-1</sup>, S in cal. degree<sup>-1</sup> mole<sup>-1</sup>,  $\log A$  in l. mol.<sup>-1</sup> sec.<sup>-1</sup> given) 0 H, 206.5°, 0,  $1.93 \pm 0.04$ ,  $4.80 \pm 0.16$ ,  $12.6 \pm 0.5$ , 11,900, -33.8, 6.01; 3-Me, 189-90°, -0.07,  $4.84 \pm 0.03$ ,  $10.2 \pm 0.2$ ,  $22.9 \pm 0.7$ , 11,000, -34.5, 5.65; 3-NO<sub>2</sub>, 201-2°, 0.70,  $0.00338 \pm 0.00014$ ,  $0.0104 \pm 0.0001$ ,  $0.0289 \pm 0.0002$ , 13,900, -39.7, 4.73; 3-Br, 194-5°, 0.38,  $0.0660 \pm 0.0011$ ,  $0.185 \pm 0.008$ ,  $0.472 \pm 0.010$ , 12,800, -37.6, 5.18; 4-Et, 218-19°, -0.15,  $5.83 \pm 0.14$ ,  $15.2 \pm 0.7$ ,  $32.0 \pm 0.8$ , 11,100, -34.3, 5.89; 4-NH<sub>2</sub>, 299-300°, -0.38,  $179.0 \pm 0.6$ , 378.0  $\pm 17.0$ ,  $729.0 \pm 25.0$ , 9100, -34.1, 5.95; 3-Bz, 238-40°, 0.34,  $0.170 \pm 0.003$ , -, -, -, -, -, 4-Ph, 203-5°, 0,  $2.63 \pm 0.13$ ,  $6.55 \pm 0.10$ ,  $14.8 \pm 0.7$ , 11,200, -35.5, 5.64.

IT 16844-15-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 16844-15-8 CAPLUS

CN Pyridinium, 1-(2-oxo-2-phenylethyl)-4-phenyl-, bromide (9CI) (CA INDEX NAME)

● Br<sup>-</sup>

L4 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1964:16619 CAPLUS

DOCUMENT NUMBER: 60:16619

ORIGINAL REFERENCE NO.: 60:2905d-f

TITLE: DL-1-[2-(p-Aminophenyl)-2-hydroxyethyl]-4-(m-trifluoromethylphenyl)-1,2,3,6-tetrahydropyridine

PATENT ASSIGNEE(S): May &amp; Baker Ltd.

SOURCE: 6 pp.; Addn. to Fr. M223 (CA 58, 2438e)

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR CAM39		19630930	FR	
GB 984364			GB	
			GB	19610728

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

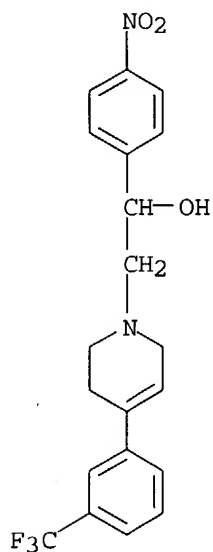
AB 4-(m-Trifluoromethylphenyl)-1,2,3,6-tetrahydropyridine (I) is treated with p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH(OH)CH<sub>2</sub>Br (II) to give DL-1-[2-(p-nitrophenyl)-2-hydroxyethyl]-4-(m-trifluoromethylphenyl)-1,2,3,6-tetrahydropyridine (III), and III is treated with N<sub>2</sub>H<sub>4</sub> in the presence of Raney Ni to give the title compound, which can be used as a psychotropic agent. Thus, a solution of 26 g. I in 105 ml. PhMe is refluxed, a solution of 14.1 g. II in 210 ml. PhMe added over 2 hrs., the mixture refluxed 18 hrs., cooled, and filtered, the filtrate evaporated, and the residue crystallized from MeOH to give 29% III, m. 122-4°. A solution of 4.2 g. III in 60 ml. EtOH is treated with 1.7 ml. 100% N<sub>2</sub>H<sub>4</sub>.H<sub>2</sub>O in the presence of Raney Ni to give 87% title compound (IV), m. 129-31° (aqueous MeOH).

IT **2193-76-2**, 1(2H)-Pyridineethanol, 3,6-dihydro-α-(p-nitrophenyl)-4-(α,α,α-trifluoro-m-tolyl)-  
**2414-12-2**, 1(2H)-Pyridineethanol, α-(p-aminophenyl)-3,6-dihydro-4-(α,α,α-trifluoro-m-tolyl)-  
 (preparation of)

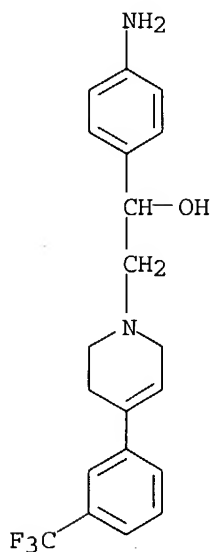
RN 2193-76-2 CAPLUS

CN 1(2H)-Pyridineethanol, 3,6-dihydro-α-(p-nitrophenyl)-4-(α,α,α-trifluoro-m-tolyl)- (7CI, 8CI) (CA INDEX NAME)

10/729,313



RN 2414-12-2 CAPLUS  
CN 1(2H)-Pyridineethanol,  $\alpha$ -(p-aminophenyl)-3,6-dihydro-4-( $\alpha,\alpha,\alpha$ -trifluoro-m-tolyl)- (8CI) (CA INDEX NAME)



L4 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1963:475204 CAPLUS  
DOCUMENT NUMBER: 59:75204  
ORIGINAL REFERENCE NO.: 59:13935e-h,13936a-h  
TITLE: Synthetic analgesics. IV. N-Substituted piperidines and 4-phenyl-1,2,3,6-tetrahydropyridines  
AUTHOR(S): Rajsner, M.; Adlerova, E.; Protiva, M.  
CORPORATE SOURCE: Pharm. Res. Inst., Prague  
SOURCE: Collection of Czechoslovak Chemical Communications (1963), 28, 1031-43  
CODEN: CCCCAK; ISSN: 0010-0765  
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable

AB cf. CA 54, 9921f. 4-Phenyl-1,2,3,6-tetrahydropyridine (I) (31 g.), b1 105°, 200 ml. anhydrous C<sub>6</sub>H<sub>6</sub>, and 33 g. anhydrous K<sub>2</sub>CO<sub>3</sub> treated during 20 min. with a solution of 30 g. PhCOCH<sub>2</sub>Cl (II) in 200 ml. C<sub>6</sub>H<sub>6</sub> with stirring, the mixture stirred 2 hrs., diluted with 300 ml. H<sub>2</sub>O, the organic layer separated, washed with H<sub>2</sub>O, extracted with 1:1 aqueous HCl, and the extract made alkaline with 40% NaOH gave 59% 1-phenacyl-4-phenyl-1,2,3,6-tetrahydropyridine, m. 113-14° (MeOH), HCl salt m. 228-9°. II and piperidine (IIa) gave similarly 60% 1-phenacylpiperidine (III), b25 165-70°; oxime m. 118-19° (C<sub>6</sub>H<sub>6</sub>). II and 4-pipecoline gave similarly 48% 1-phenacyl-4-pipecoline, b0.5 111° and PhCOCHBrMe and IIa gave 70% 1-( $\alpha$ -methylphenacyl)piperidine (IV), b11 150-60°. III (19.1 g.) in 100 ml. MeOH reduced with 4 g. NaBH<sub>4</sub> under stirring, the mixture heated 15 min. to 60°, cooled, the excess of NaBH<sub>4</sub> decomposed with AcOH, the solution evaporated in vacuo, the residue diluted with 100 ml. H<sub>2</sub>O, made alkaline with NaOH, the mixture extracted with CHCl<sub>3</sub>, the extract dried, and evaporated gave 1-phenyl-2-piperidinoethanol (V), m. 69-70° (petr. ether). V (10.25 g.) in 100 ml. anhydrous C<sub>5</sub>H<sub>5</sub>N treated with 15 g. 3,4,5-(MeO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>COCl, the mixture kept 12 hrs. at room temperature, treated with 10 ml. H<sub>2</sub>O, kept 1 hr., evaporated in vacuo, the residue diluted with 50 ml. H<sub>2</sub>O, the mixture extracted with CHCl<sub>3</sub>, the extract washed (H<sub>2</sub>O), dried (MgSO<sub>4</sub>), evaporated, the residue dissolved in EtOH, and the solution treated with anhydrous HCl in Et<sub>2</sub>O gave 1-phenyl-1-(3,4,5-trimethoxybenzoyloxy)-2-piperidinoethane-HCl, m. 195-8° (EtOH). 3-ClC<sub>6</sub>H<sub>4</sub>MgBr (prepared from 4.1 g. Mg and 31.8 g. 3-ClC<sub>6</sub>H<sub>4</sub>Br in 100 ml. anhydrous Et<sub>2</sub>O) treated with a solution of 24 g. IV in 40 ml. Et<sub>2</sub>O, the mixture refluxed 4 hrs., cooled, decomposed with 100 ml. 25% NH<sub>4</sub>Cl, the organic layer dried (K<sub>2</sub>CO<sub>3</sub>), and distilled gave 23.3 g. 1-phenyl-1-(3-chlorophenyl)-2-piperidinopropanol, b0.7 186-7°, HCl salt m. 202-3° (EtOH-Et<sub>2</sub>O). Similarly were prepared the following amino alcs. (% yield given): 1-phenyl-1-(2-chlorophenyl)-2-piperidinoethanol (VI), 44, HCl salt m. 233° (EtOH-Et<sub>2</sub>O); 1-phenyl-1-(3-chlorophenyl)-2-piperidinoethanol, 38, m. 62-3° (MeOH), HCl salt m. 167-8° (Me<sub>2</sub>CO-Et<sub>2</sub>O); 1-phenyl-1-(4-chlorophenyl)-2-piperidinoethanol, 57, HCl salt m. 206-7° (EtOH-Et<sub>2</sub>O); 1,1-diphenyl-2-piperidinopropanol, 57, b0.5 160°, HCl salt m. 197° (EtOH-Et<sub>2</sub>O); 1,1-diphenyl-2-(4-phenyl-1,2,3,6-tetrahydropyridino)ethanol (VIa), 47, m. 143° (EtOH), HCl salt m. 217-19° (EtOH-Et<sub>2</sub>O); 1-phenyl-1-(2-chlorophenyl)-2-(4-phenyl-1,2,3,6-tetrahydropyridino)ethanol, 55, m. 146-7° (EtOH), HCl salt m. 217-18° (EtOH-Et<sub>2</sub>O); 1-phenyl-1-(3-chlorophenyl)-2-(4-phenyl-1,2,3,6-tetrahydropyridino)ethanol, 32, m. 93-5° (EtOH), HCl salt m. 210-12° (EtOH); 1-phenyl-1-(4-chlorophenyl)-2-(4-phenyl-1,2,3,6-tetrahydropyridino)ethanol, 35, m. 126-6.5° (EtOH), HCl salt m. 222-4° (EtOH-Et<sub>2</sub>O). Ph<sub>2</sub>C(OH)CH<sub>2</sub>Cl (10 g., b0.3 128-30°) and 40 ml. piperidine refluxed 5 hrs., cooled, filtered, the filtrate evaporated in vacuo, the residue dissolved in Et<sub>2</sub>O, the solution extracted with diluted HCl, and the aqueous solution cooled gave 7.2 g. 1,1-diphenyl-2-piperidinoethanol-HCl (VII), m. 237-9° (EtOH). Ph<sub>2</sub>C:CH<sub>2</sub> (VIII) (110 g.) and 300 ml. H<sub>2</sub>O stirred, heated to 100°, treated dropwise with a solution of 32 ml. Br and 50 g. KBr in 200 ml. H<sub>2</sub>O, the mixture cooled, extracted with Et<sub>2</sub>O, the extract dried, and distilled gave Ph<sub>2</sub>C:CHBr, b0.2 108-10°, m. 41-2° (EtOH-petr. ether). Similar treatment of VIII with Br in H<sub>2</sub>O and simultaneous neutralization of the formed HBr with Na<sub>2</sub>CO<sub>3</sub> gave a small amount of Ph<sub>2</sub>C(OH)CH<sub>2</sub>Br, b0.2 150°, m. 75° (petr. ether).

$\text{PhCH}_2\text{N}(\text{CH}_2\text{CH}_2\text{CO}_2\text{Me})_2$  (135 g.), b0.6 150-61°, in 140 ml. xylene refluxed and treated with 11.25 g. Na powder gave 73 g. 1-benzyl-3-carbomethoxy-4-piperidone (IX), HCl salt m. 178° (decomposition) (MeOH-Et<sub>2</sub>O). IX (56.6 g.) and 240 ml. 20% HCl refluxed 1 hr., the mixture evaporated in vacuo to dryness, the residue treated with 40% NaOH, extracted with Et<sub>2</sub>O, and the extract distilled gave 29 g. 1-benzyl-4-piperidone (X), b0.2 107-8°. PhMgBr (prepared from 6.3 g. Mg, 40.6 g. PhBr and 100 ml. anhydrous Et<sub>2</sub>O) treated with 24.5 g. X in 100 ml. Et<sub>2</sub>O, the mixture refluxed 30 min., cooled, decomposed with 100 ml. 25% NH<sub>4</sub>Cl, the Et<sub>2</sub>O layer separated, washed, dried, and evaporated gave 10.9 g. 1-benzyl-4-phenyl-4-hydroxypiperidine (XI), m. 105-6° (C<sub>6</sub>H<sub>6</sub>-petr. ether); HCl salt m. 218-20° (decomposition) (EtOH). XI (10 g.) in 60 ml. anhydrous C<sub>5</sub>H<sub>5</sub>N treated with 10 ml. POCl<sub>3</sub>, the mixture refluxed 30 min., cooled, diluted with 150 ml. H<sub>2</sub>O, made alkaline with 20% NaOH, extracted with Et<sub>2</sub>O, the extract washed (H<sub>2</sub>O), dried (K<sub>2</sub>CO<sub>3</sub>), evaporated, the residue dissolved in 50 ml. anhydrous Et<sub>2</sub>O, and the solution treated with anhydrous HCl in Et<sub>2</sub>O gave 9.0 g. 1-benzyl-4-phenyl-1,2,3,6-tetrahydropyridine-HCl, m. 209-10° (EtOH-Me<sub>2</sub>CO). PhCH(C<sub>6</sub>H<sub>11</sub>)CN (XII) (150 g.), 120 ml. concentrated NH<sub>4</sub>OH and 5 g. Raney-Ni hydrogenated 16 hrs. at 110 atmospheric H and 100-20°, the mixture cooled, filtered, the filtrate separated with HCl into basic and neutral fractions; the neutral regenerated on distillation 59.6 g. XII, b2 129-32°, and the basic one distilled gave 57 g. PhCH(C<sub>6</sub>H<sub>11</sub>)CH<sub>2</sub>NH<sub>2</sub>, b1 130-3°, HCl salt m. 250-1° (EtOH-Et<sub>2</sub>O). Ph<sub>2</sub>CHCN (100 g.) gave similarly 76.7 g. Ph<sub>2</sub>CHCH<sub>2</sub>NH<sub>2</sub> (XIII), b0.2 112-14°, m. 44-6°. (4-MeOC<sub>6</sub>H<sub>4</sub>)<sub>2</sub>CHCN (35 g.), m. 152-3°, gave similarly 15.4 g. (4-MeOC<sub>6</sub>H<sub>4</sub>)<sub>2</sub>CHCH<sub>2</sub>NH<sub>2</sub>, b0.5 176-82°, m. 48-50°. XIII, 40 ml. MeOH, and 40 g. CH<sub>2</sub>:CHCO<sub>2</sub>Me kept 5 days at room temperature and distilled gave Ph<sub>2</sub>CHCH<sub>2</sub>N(CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>Me)<sub>2</sub> (XIV), b1.2 210-15°. XIV (30 g.), 25 ml. anhydrous xylene and 2.1 g. Na powder refluxed 20 min., the cooled mixture diluted with 200 ml. ice-cold H<sub>2</sub>O, extracted with Et<sub>2</sub>O (the organic layer regenerated on distillation 17 g. XIV), the aqueous layer acidified with HCl, made alkaline with K<sub>2</sub>CO<sub>3</sub>, extracted with Et<sub>2</sub>O, the extract dried, and evaporated gave 11.7 g. 1-(2,2-diphenylethyl)-3-carbomethoxy-4-piperidone (XV), m. 141-1.5° (C<sub>6</sub>H<sub>6</sub>-petr. ether). XV (1.3 g.) and 5.5 ml. 2:1 dilute HCl refluxed 1 hr., the mixture evaporated in vacuo to dryness, the residue made alkaline with 40% NaOH, extracted with Et<sub>2</sub>O-CHCl<sub>3</sub>, the extract dried, and evaporated gave 0.8 g. 1-(2,2-diphenylethyl)-4-piperidone, m. 136-7° (C<sub>6</sub>H<sub>6</sub>-petr. ether). I (3.4 g.), 30 ml. anhydrous C<sub>6</sub>H<sub>6</sub>, and 1.6 ml. C<sub>5</sub>H<sub>5</sub>N cooled, treated with 4.6 g. Ph<sub>2</sub>CHCOCl in 20 ml. C<sub>6</sub>H<sub>6</sub>, the mixture stirred 30 min. at room temperature, decomposed with 50 ml. H<sub>2</sub>O, acidified with 3 ml. concentrated HCl, the organic layer washed (NaHCO<sub>3</sub>, H<sub>2</sub>O), dried (K<sub>2</sub>CO<sub>3</sub>), and evaporated gave 5.7 g. 1-(diphenylacetyl)-4-phenyl-1,2,3,6-tetrahydropyridine (XVI), m. 150° (EtOH). XVI (10 g.) reduced with 2.1 g. LiAlH<sub>4</sub> in 420 ml. Et<sub>2</sub>O, the mixture refluxed and stirred 3 hrs., cooled, decomposed with 5 ml. H<sub>2</sub>O, 20 ml. 20% NaOH and 20 ml. H<sub>2</sub>O, filtered, the filtrate dried (K<sub>2</sub>CO<sub>3</sub>), and evaporated gave 7.5 g. 1-(2,2-diphenylethyl)-4-phenyl-1,2,3,6-tetrahydropyridine, m. 112° (EtOH), HCl salt m. 216° (EtOH-Et<sub>2</sub>O). VIa.HCl (0.5 g.) in 10 ml. EtOH hydrogenated 3 hrs. over 0.1 g. Pd-C under normal conditions, the mixture filtered, and the filtrate evaporated in vacuo to dryness gave 0.4-g. HCl salt of 1,1-diphenyl-2-(4-phenylpiperidino)ethanol, m. 220-20.5° (EtOH-Et<sub>2</sub>O). Some of the products had analgesic, central depressant, and local anaesthetic activity; HCl salts of VI, VII, and XI had an activity similar to that of

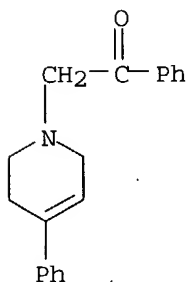
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pethidine.

IT 95278-61-8, Acetophenone, 2-(3,6-dihydro-4-phenyl-1(2H)-pyridyl)-, hydrochloride 95278-62-9, Acetophenone, 2-(3,6-dihydro-4-phenyl-1(2H)-pyridyl)- 96466-28-3, 1(2H)-Pyridineethanol, 3,6-dihydro- $\alpha,\alpha,4$ -triphenyl-, hydrochloride 96466-29-4, 1(2H)-Pyridineethanol, 3,6-dihydro- $\alpha,\alpha,4$ -triphenyl- 97153-55-4, 1(2H)-Pyridineethanol,  $\alpha$ -(m-chlorophenyl)-3,6-dihydro- $\alpha,4$ -diphenyl-, hydrochloride 97153-56-5, 1(2H)-Pyridineethanol,  $\alpha$ -(m-chlorophenyl)-3,6-dihydro- $\alpha,4$ -diphenyl- 97153-57-6, 1(2H)-Pyridineethanol,  $\alpha$ -(p-chlorophenyl)-3,6-dihydro- $\alpha,4$ -diphenyl-, hydrochloride 97153-58-7, 1(2H)-Pyridineethanol,  $\alpha$ -(p-chlorophenyl)-3,6-dihydro- $\alpha,4$ -diphenyl- 98484-00-5, 1(2H)-Pyridineethanol,  $\alpha$ -(o-chlorophenyl)-3,6-dihydro- $\alpha,4$ -diphenyl-, hydrochloride 98484-01-6, 1(2H)-Pyridineethanol,  $\alpha$ -(o-chlorophenyl)-3,6-dihydro- $\alpha,4$ -diphenyl- (preparation of)

RN 95278-61-8 CAPLUS

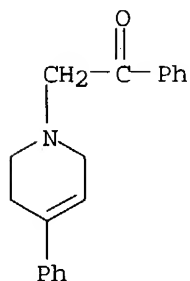
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● HCl

RN 95278-62-9 CAPLUS

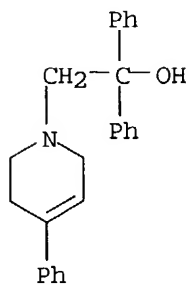
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RN 96466-28-3 CAPLUS

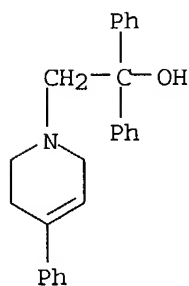
CN 1(2H)-Pyridineethanol, 3,6-dihydro- $\alpha,\alpha,4$ -triphenyl-, hydrochloride (7CI) (CA INDEX NAME)

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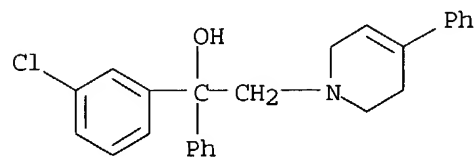


● HCl

RN 96466-29-4 CAPLUS  
CN 1(2H)-Pyridineethanol, 3,6-dihydro- $\alpha,\alpha,4$ -triphenyl- (7CI) (CA INDEX NAME)



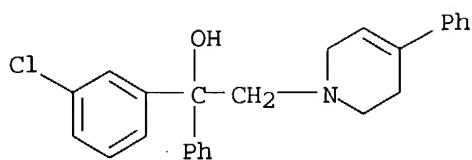
RN 97153-55-4 CAPLUS  
CN 1(2H)-Pyridineethanol,  $\alpha$ -(m-chlorophenyl)-3,6-dihydro- $\alpha,4$ -diphenyl-, hydrochloride (7CI) (CA INDEX NAME)



● HCl

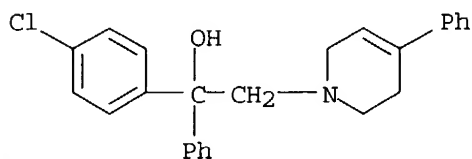
RN 97153-56-5 CAPLUS  
CN 1(2H)-Pyridineethanol,  $\alpha$ -(m-chlorophenyl)-3,6-dihydro- $\alpha,4$ -diphenyl- (7CI) (CA INDEX NAME)

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RN 97153-57-6 CAPLUS

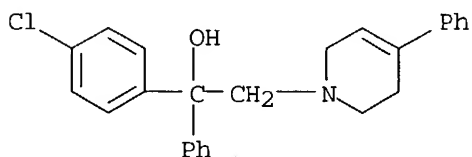
CN 1(2H)-Pyridineethanol,  $\alpha$ -(p-chlorophenyl)-3,6-dihydro- $\alpha$ ,4-diphenyl-, hydrochloride (7CI) (CA INDEX NAME)



● HCl

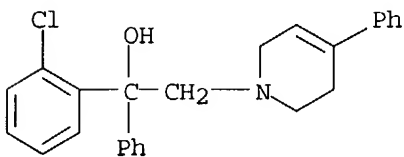
RN 97153-58-7 CAPLUS

CN 1(2H)-Pyridineethanol,  $\alpha$ -(p-chlorophenyl)-3,6-dihydro- $\alpha$ ,4-diphenyl- (7CI) (CA INDEX NAME)



RN 98484-00-5 CAPLUS

CN 1(2H)-Pyridineethanol,  $\alpha$ -(o-chlorophenyl)-3,6-dihydro- $\alpha$ ,4-diphenyl-, hydrochloride (7CI) (CA INDEX NAME)



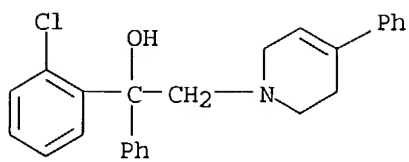
● HCl

RN 98484-01-6 CAPLUS

CN 1(2H)-Pyridineethanol,  $\alpha$ -(o-chlorophenyl)-3,6-dihydro- $\alpha$ ,4-diphenyl- (7CI) (CA INDEX NAME)



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